10743642

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:02:12 ON 04 FEB 2007

=> FILE REG

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 15:02:25 ON 04 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2007 HIGHEST RN 919200-33-2
DICTIONARY FILE UPDATES: 2 FEB 2007 HIGHEST RN 919200-33-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

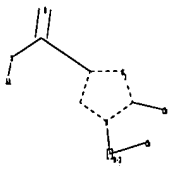
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

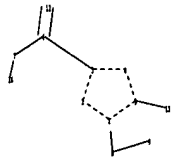
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10743642.str

SAEED

10743642





chain nodes :
6 7 8 9 12 13 16
ring nodes :
1 2 3 4 5
chain bonds :
1-8 3-6 5-13 6-7 6-12 7-16 8-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-8 2-3 3-4 3-6 4-5 5-13 6-7 6-12 7-16 8-9
isolated ring systems :
containing 1 :

G1:C,N

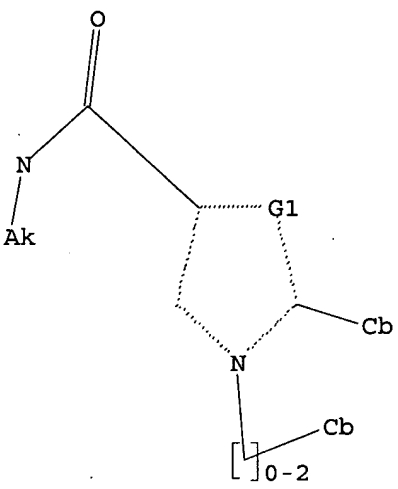
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 12:CLASS
13:Atom 16:CLASS

L1 STRUCTURE UPLOADED

SAEED

10743642

=> D
L1 HAS NO ANSWERS
L1 STR




G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 15:02:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3640 TO ITERATE

54.9% PROCESSED 2000 ITERATIONS 41 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

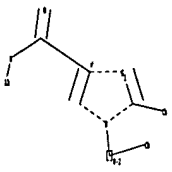
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 69182 TO 76418
PROJECTED ANSWERS: 974 TO 2010

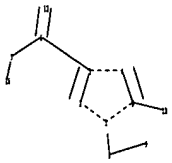
L2 41 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\107436421.str

SAEED

10743642





chain nodes :
6 7 8 9 12 13 16
ring nodes :
1 2 3 4 5
chain bonds :
1-8 3-6 5-13 6-7 6-12 7-16 8-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-8 2-3 3-4 3-6 4-5 5-13 6-7 6-12 7-16 8-9
isolated ring systems :
containing 1 :

G1:C,N

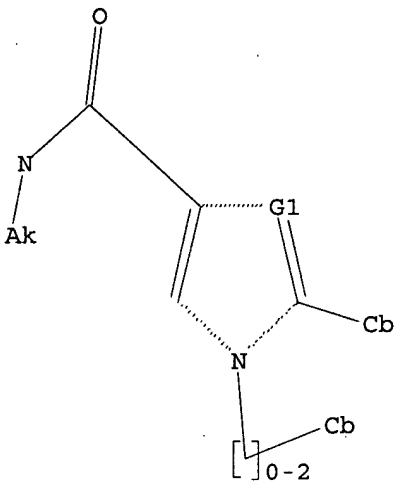
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 12:CLASS
13:Atom 16:CLASS

L3 STRUCTURE UPLOADED

SAEED

10743642

=> D
L3 HAS NO ANSWERS
L3 STR




G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> S L3
SAMPLE SEARCH INITIATED 15:04:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3640 TO ITERATE

54.9% PROCESSED 2000 ITERATIONS 41 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 69182 TO 76418
PROJECTED ANSWERS: 974 TO 2010

L4 41 SEA SSS SAM L3

=> S L3 FULL
FULL SEARCH INITIATED 15:04:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 72017 TO ITERATE

100.0% PROCESSED 72017 ITERATIONS 1282 ANSWERS
SEARCH TIME: 00.00.01

L5 1282 SEA SSS FUL L3

=> FILE CAPLUS

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 173.45 | 173.66 |

FILE 'CAPLUS' ENTERED AT 15:04:53 ON 04 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 4 Feb 2007 VOL 146 ISS 7
FILE LAST UPDATED: 2 Feb 2007 (20070202/ED)

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http://www.cas.org/infopolicy.html

=> S L5
L6 43 L5

=> D IBIB ABS HITSTR TOT

SAEED

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:11808 CAPLUS
DOCUMENT NUMBER: 146:121964
TITLE: Imidazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Lu, Shao Po; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 268pp., which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007002563 | A1 | 20070104 | WO 2006-US24757 | 20060626 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

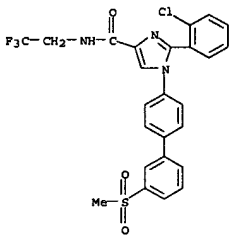
| | | |
|---|---|---|
| PRIORITY APPLN. INFO.: | US 2005-694372P | P 20050627 |
| | US 2005-736120P | P 20051110 |

GI

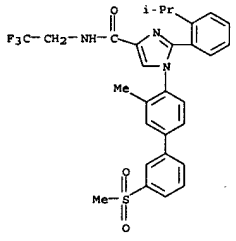
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of the invention, such as compds. of formulas I, II, III and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted C3-8 cycloalkyl, (un)substituted alkyl, (un)substituted acyl, (un)substituted thioacyl, sulfonyl, ether, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkyldiyl, H, halo, NO2, (hetero)aryl, etc.; R3 is (un)substituted alkyl, (un)substituted alkyldiyl, (un)substituted (hetero)aryl, CN, etc.; G is (un)substituted (hetero)aryl, (un)substituted (hetero)biaryl, (un)substituted alkylaryl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof are claimed. Example compd. V was prepd. by addn. of 2,5-dichloroaniline to 5-bromothiophene-2-carbonitrile; the resulting 5-bromo-N-(2,5-dichlorophenyl)thiophene-2-carboxamide underwent cyclization with 1-bromo-3,3,3-trifluoroacetone to give 2-(5-bromothien-2-yl)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-4,5-dihydro-1H-imidazol-4-ol, which underwent dehydration to give 2-(5-bromothien-2-yl)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-1H-imidazole,, which underwent Suzuki cross-coupling with 3-methylsulfonylphenylboronic acid to give compd. V. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was detd. that several of the tested compd. exhibited IC50 values of < 1 μM. Compds. of the invention, such as compds. of Formulas Ia, Ib, Ic, or Id and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors, where R1, R2, R21, R3, and G are defined herein. Pharmaceutical compns. contg. the compds. and methods of using the compds. are also disclosed.

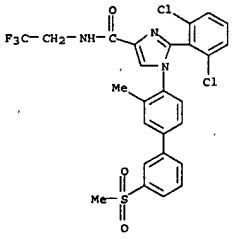
IT 918348-89-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of imidazole based LXR modulators and their use in the treatment of diseases)
RN 918348-89-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



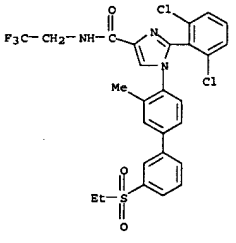
IT 918348-90-0P 918348-91-1P 918348-92-2P
918348-93-3P 918348-94-4P 918348-95-5P
918348-96-6P 918348-98-8P 918348-99-9P
918349-00-5P 918349-01-6P 918349-02-7P
918349-03-8P 918349-04-9P 918349-05-0P
918349-06-1P 918349-07-2P 918349-08-3P
918349-09-4P 918349-10-7P 918349-11-8P
918349-12-9P 918349-35-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of imidazole based LXR modulators and their use in the treatment of diseases)
RN 918348-90-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-[2-(1-methylethyl)phenyl]-1-[3-methyl-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 918348-91-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-[3-methyl-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

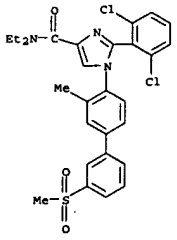


RN 918348-92-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-[3'-(ethylsulfonyl)-3-methyl[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

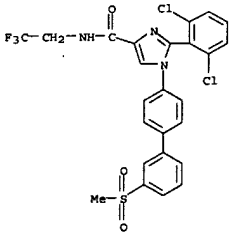


RN 918348-93-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N,N-diethyl-1-[3-methyl-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

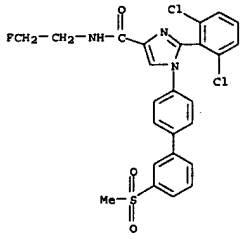


RN 918348-94-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 918348-95-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-(2-fluoroethyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 918348-96-6 CAPLUS
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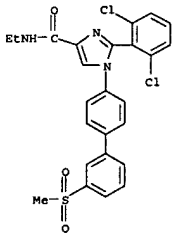
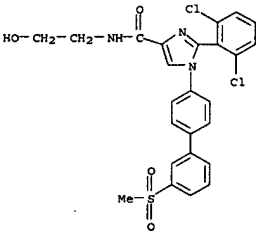
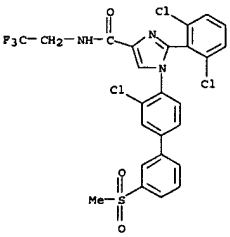


RN 918348-98-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-(2-hydroxyethyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

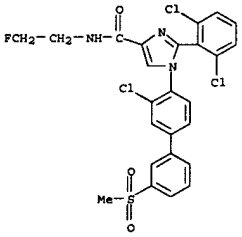


RN 918348-99-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

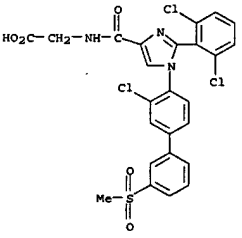


RN 918349-00-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2-fluoroethyl)- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

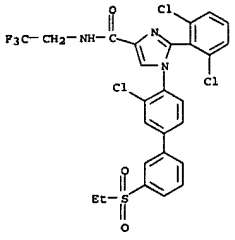


RN 918349-01-6 CAPLUS
CN Glycine, N-[[1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

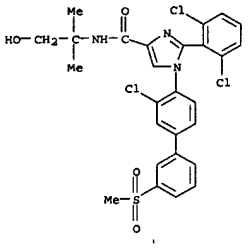


RN 918349-02-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[3-chloro-3'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

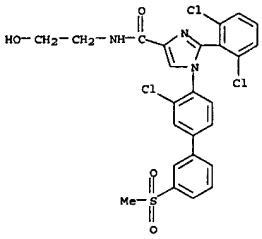


RN 918349-03-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-
4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)



RN 918349-04-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(ethylsulfonyl)[1,1'-biphenyl]-
4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 918349-07-2 CAPLUS
CN 1H-Imidazole-4-carboxamide,
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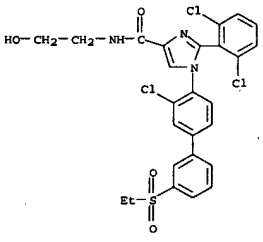
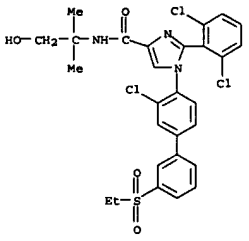
4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxyethyl)- (CA INDEX NAME)

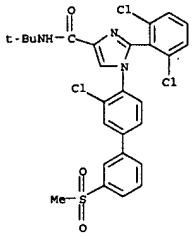


RN 918349-08-3 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-
4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

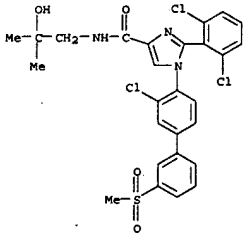


RN 918349-05-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-
4-yl]-2-(2,6-dichlorophenyl)-N-(1,1-dimethylethyl)- (CA INDEX NAME)

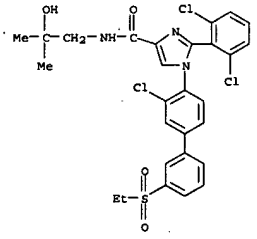


RN 918349-06-1 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]-
4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxyethyl)- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

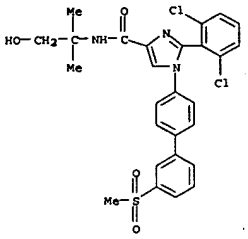


RN 918349-09-4 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(ethylsulfonyl)[1,1'-biphenyl]-
4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (CA INDEX NAME)

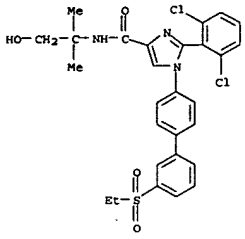


RN 918349-10-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

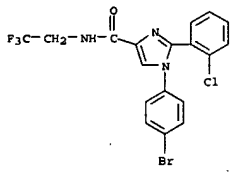


RN 918349-11-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-[3'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2-hydroxy-1,1-dimethylethyl)-(CA INDEX NAME)



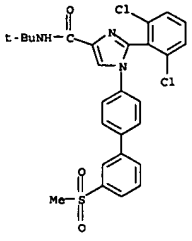
RN 918349-12-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-(1,1-dimethylethyl)-1-[3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
trifluoroethyl]- (CA INDEX NAME)

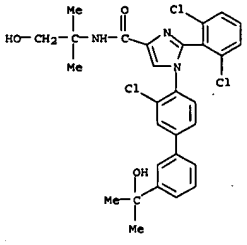


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

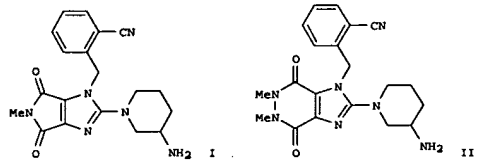


RN 918349-35-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[3-chloro-3'-(1-hydroxy-1-methylethyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)-(CA INDEX NAME)



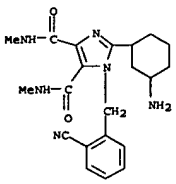
IT 918350-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazole based LXR modulators and their use in the treatment of diseases)
RN 918350-02-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2-chlorophenyl)-N-(2,2,2-

L6 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1190030 CAPLUS
TITLE: Xanthine mimetics as potent dipeptidyl peptidase IV inhibitors
AUTHOR(S): Kurukulasuriya, Ravi; Rohde, Jeffrey J.; Szczepankiewicz, Bruce G.; Basha, Fatima; Lai, Chunqui; Jae, Hwan-Soo; Winn, Martin; Stewart, Kent D.; Longenecker, Kenton L.; Lubben, Thomas W.; Ballaron, Stephen J.; Sham, Hing L.; von Geldern, Thomas W.
CORPORATE SOURCE: Metabolic Disease Research, Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(24), 6226-6230
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



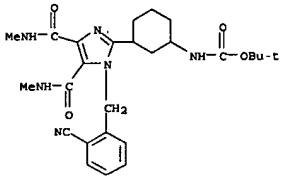
AB Aminopiperidinyl-substituted fused imidazoles such as pyrroloimidazole I·HCl are prepared as xanthine mimetics using a copper-catalyzed cyclocondensation of bromoaryl guanidines as the key step; their inhibition of human dipeptidylpeptidase IV (DPPIV) and the selectivities of some of the compds. for DPPIV over DPP8, DPP9, and prolyl oligopeptidase are determined I binds to human DPPIV with a Ki value of 2 nM while binding to DDP8, DPP9, and prolyl oligopeptidase with Ki values > 3 μM. I is poorly bioavailable in rats, with a high clearance, low oral bioavailability, and low stability in the presence of rat plasma. Imidazolopyridazinedione II and an imidazoledicarboxamide related to I are prepared; II binds to DPPIV with a Ki value of 11 nM while binding to DDP8, DPP9, and prolyl oligopeptidase with Ki values > 3 μM and while being significantly more potent than I in the presence of plasma. I is not selective for human DPPIV over rat DPPIV. The crystal structure of I bound to human DPPIV is determined by X-ray crystallog.
IT 918931-49-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of a aminopiperidinyl imidazoledicarboxamide with improved plasma stability as an inhibitor of human dipeptidylpeptidase IV and

L6 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
its selectivity for DPPIV over DPP8, DPP9, and prolyl oligopeptidase)
RN 918931-49-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



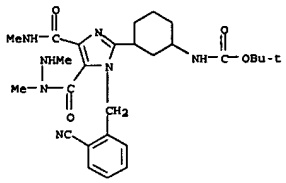
● HCl

IT 918931-46-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of a aminopiperidinyl imidazoledicarboxamide with improved
plasma stability as an inhibitor of human dipeptidylpeptidase IV and its selectivity for DPPIV over DPP8, DPP9, and prolyl oligopeptidase)
RN 918931-46-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 918931-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of an aminopiperidinyl imidazopyridazinedione with improved

L6 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
plasma stability as an inhibitor of human dipeptidylpeptidase IV and its selectivity for DPPIV over DPP8, DPP9, and prolyl oligopeptidase)
RN 918931-47-2 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[(2-cyanophenyl)methyl]-2-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]cyclohexyl]-4-[(methylamino)carbonyl]-, 1,2-dimethylhydrazide (CA INDEX NAME)

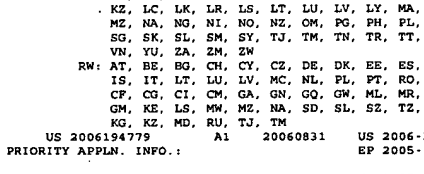


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:845225 CAPLUS
DOCUMENT NUMBER: 145:271779
TITLE: Preparation of 1H-imidazole derivatives for use as modulators in the treatment of disorders involving cannabinoid CB2 receptors
INVENTOR(S): Lange, Josephus H., M.; Stuivenberg, Herman H.; Van Vliet, Bernard J.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: PCT Int. Appl., 81pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

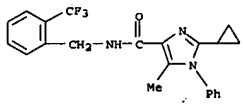
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006087355 | A1 | 20060824 | WO 2006-EP60009 | 20060216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 2006194779 | A1 | 20060831 | US 2006-353155 | 20060214 |
| PRIORITY APPLN. INFO.: | | | EP 2005-101171 A | 20050216 |
| | | | US 2005-653091P P | 20050216 |

OTHER SOURCE(S): MARPAT 145:271779
GI



AB 1H-imidazole derivs. I, wherein R1is H, halogen, (un)substituted alkyl, (un)substituted alkynyl, (un)substituted alkenyl, acetyl, cyclopropyl; R2 is (un)substituted Ph, (un)substituted heteroaryl, 4-10 membered monocyclic, fused bicyclic or fused tricyclic carbocyclic ring; R3 H, halogen, alkylsulfonyl, (un)substituted heteroaryl; R4 is an (un)substituted ketone or (un)substituted amide are prepared as modulators
for the treatment of disorders in which cannabinoid CB2 receptors are

L6 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
involved. Thus, II was prepd. and tested as for the in vitro affinity for
human cannabinoid CB1 and CB2 receptors (pKi <6.0 and 7.3 resp.). Further, I can be used in the treatment of neuropathic pain, cancers, allergies, multiple sclerosis, Huntington's disease, inflammatory and immune system disorders.
IT 906804-65-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-imidazole derivs. for use as modulators in treatment of
disorders involving cannabinoid CB2 receptors)
RN 906804-65-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-cyclopropyl-5-methyl-1-phenyl-N-[[2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

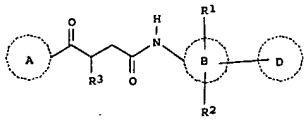
L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:793003 CAPLUS
DOCUMENT NUMBER: 145:230632
TITLE: Preparation of amide compounds as diacylglycerol acyltransferase inhibitors
INVENTOR(S): Ogino, Masaki; Nakada, Yoshihisa; Shimada, Mitsuyuki; Asano, Kouhei; Tamura, Norikazu; Masago, Minori
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 299pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006082952 | A1 | 20060810 | WO 2006-JP301942 | 20060131 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-25713 A 20050201

OTHER SOURCE(S): MARPAT 145:230632
GI

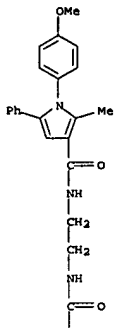


I

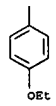
AB The title compds. I [ring A represents an optionally substituted ring (which is not a pyrrolidine, piperidine or piperazine); ring B represents an optionally substituted aromatic ring; ring D represents an optionally substituted ring; R1 and R2 independently represent a hydrogen atom or a substituent; R3 represents a hydrogen atom or a C1-6 alkyl group, or alternatively it combines with the ring A to form a non-aromatic ring; excluding specified compds.] are prepared Thus, N-(5-benzyl-4-phenyl-1,3-thiazol-2-yl)-4-(4-ethoxyphenyl)-4-oxobutanamide was prepared in 3 steps

L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
from phenetole and succinic anhydride. 19 Compds. of this invention showed IC50 values ≤ 10 nM against diacylglycerol acyltransferase. Formulations are given.
IT 905589-72-2P 905589-73-3P 905591-16-4P
905591-17-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide compds. as diacylglycerol acyltransferase inhibitors)
RN 905589-72-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(4-ethoxybenzoyl)amino]ethyl]-1-(4-methoxyphenyl)-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

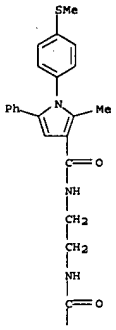


PAGE 2-A

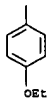


L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 905589-73-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(4-ethoxybenzoyl)amino]ethyl]-2-methyl-1-[4-(methylthio)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



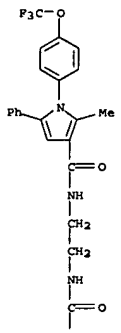
PAGE 2-A



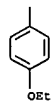
RN 905591-16-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(4-ethoxybenzoyl)amino]ethyl]-2-methyl-5-phenyl-1-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



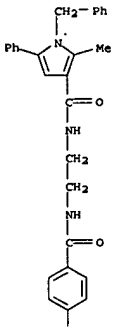
PAGE 2-A



RN 905591-17-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(4-ethoxybenzoyl)amino]ethyl]-2-methyl-5-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

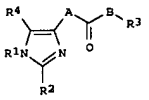
ACCESSION NUMBER: 2006:677655 CAPLUS
DOCUMENT NUMBER: 145:124571
TITLE: preparation of imidazoles and pyrazoles as CB1 and/or CB2 cannabinoid receptor ligands.
INVENTOR(S): Makriyannis, Alexandros; Thotapally, Rajesh; Vemuri, Venkata Kiran Rao; Olszewska, Teresa
PATENT ASSIGNEE(S): Vemuri, Venkata, Kiran, Rao, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006074445 | A2 | 20060713 | WO 2006-US720 | 20060110 |
| WO 2006074445 | A3 | 20060928 | | |

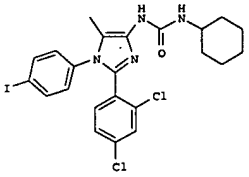
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-642544P P 20050110

OTHER SOURCE(S): MARPAT 145:124571
GI



I



II

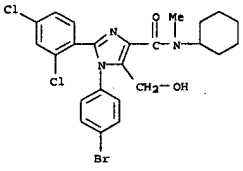
AB Title compds. e.g. [I; A, B = bond, O, (CH2)lR5; B = bond, O, NR5; R5 = H, (substituted) alkyl; l = 0, 1; R1, R2 = (CH2)nZ; n = 0-7; Z = H, halo, N3,

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

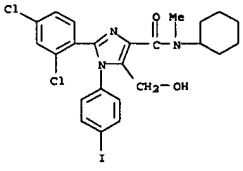
NCS, cyano, NO2, OAc, acyloxy, aroyloxy, acylamino, alkoxy, substituted carbocyclyl, heterocyclyl, etc.; R3 = specified 5-6 membered ring, bicycloheptyl, adamantyl, fused ring system, etc.; R4 = H, halo, N3, NCS, Ph, cyano, NO2, carbocyclyl, heterocyclyl, aryl, heteroaryl; azabicycloheptyl, etc.], were claimed. Thus, title compd. (II) showed CB1 receptor binding with Ki = 1.2 nM.

IT 897924-69-5 897924-74-2 897924-85-5
897924-86-6 897924-87-7 897924-88-8
897924-89-9 897924-90-2 897925-15-4
897925-16-5 897925-18-7 897925-19-8
897925-26-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of imidazoles and pyrazoles as CB1 and/or CB2 cannabinoid receptor ligands)

RN 897924-69-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl- (9CI) (CA INDEX NAME)

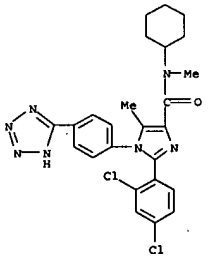


RN 897924-74-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-1-(4-iodophenyl)-N-methyl- (9CI) (CA INDEX NAME)

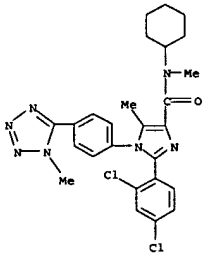


RN 897924-85-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl-1-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

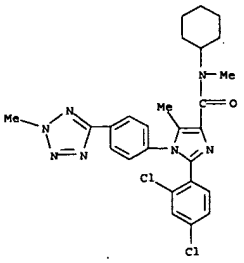


RN 897924-86-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl-1-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

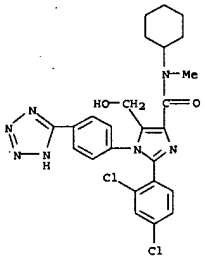


RN 897924-87-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl-1-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

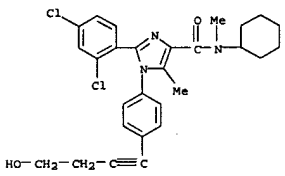


RN 897924-88-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl-1-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

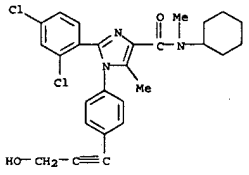


RN 897924-89-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl-1-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

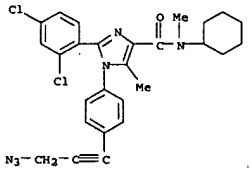
L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 897925-16-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(3-hydroxy-1-propynyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

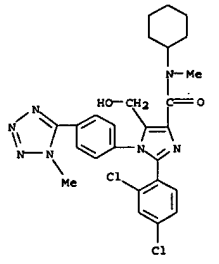


RN 897925-18-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-(3-azido-1-propynyl)phenyl]-N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl- (9CI) (CA INDEX NAME)

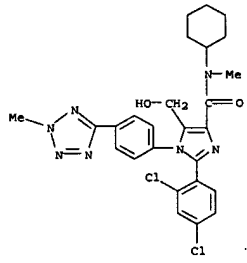


RN 897925-19-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(3-isothiocyanato-1-propynyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

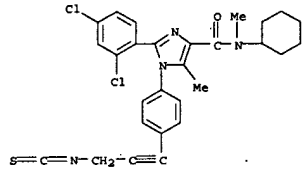


RN 897924-90-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl-1-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

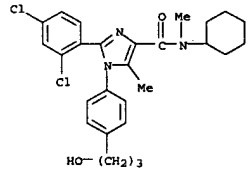


RN 897925-15-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(4-hydroxy-1-butynyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 897925-26-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(3-hydroxypropyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)



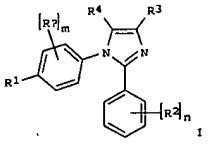
L6 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:634010 CAPLUS
DOCUMENT NUMBER: 145:103674
TITLE: Preparation of 1,2-diarylimidazoles as CB1 modulators for treating obesity, psychiatric and neurological disorders
INVENTOR(S): Cheng, Leifeng
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006067428 | A2 | 20060629 | WO 2005-GB4956 | 20051221 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

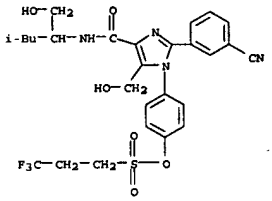
PRIORITY APPLN. INFO.: GB 2004-28073 A 20041223
GB 2005-14348 A 20050713

OTHER SOURCE(S): MARPAT 145:103674
GI



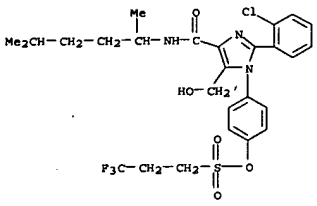
AB The title compds. I [R1 = (un)substituted alkoxy, O(CH2)pPh (p = 1-3), etc.; Ra = halo, alkyl, alkoxy; m = 0-3; R2 = alkyl, alkoxy, OH, NO2, CN or halo; n = 0-3; R3 = XYNR7R8 (X = CO or SO2; Y = absent or

L6 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(un)substituted NH; R7, R8 = alkyl, cycloalkyl, cycloalkylalkylene, etc.), oxazolyl, thiazolyl, etc.; R4 = alkyl substituted by OH, (un)substituted NH2], useful in the treatment of obesity, psychiatric and neurol. disorders, were prepd. E.g., a multi-step synthesis of propane-1-sulfonic acid 4-[2-(2,4-dichlorophenyl)-5-hydroxymethyl-4-(piperidin-1-ylcarbamoyl)imidazol-1-yl]phenyl ester (II), starting from p-anisidine and 2,4-dichlorobenzonitrile, was given. Compds. I are active at the CB1 receptor (IC50 <1 μM). Most preferred compds. have IC50 < 200 nM. For example, II showed IC50 of 3 nM. Pharmaceutical compn. contg. compd. I is disclosed.
IT 895136-60-4P 895136-68-2P 895136-73-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylimidazoles as CB1 modulators for treating obesity, psychiatric and neurol. disorders)
RN 895136-60-4 CAPLUS
CN 1-Propanesulfonic acid, 3,3,3-trifluoro-, 4-[2-(3-cyanophenyl)-5-(hydroxymethyl)-4-[[[1-(hydroxymethyl)-3-methylbutyl]amino]carbonyl]-1H-imidazol-1-yl]phenyl ester (9CI) (CA INDEX NAME)

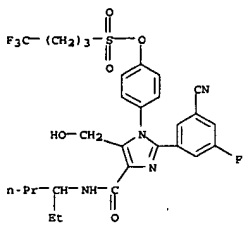


RN 895136-68-2 CAPLUS
CN 1-Propanesulfonic acid, 3,3,3-trifluoro-, 4-[2-(2-chlorophenyl)-4-[[(1,4-dimethylpentyl)amino]carbonyl]-5-(hydroxymethyl)-1H-imidazol-1-yl]phenyl ester (9CI) (CA INDEX NAME)

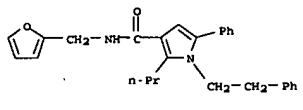
L6 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 895136-73-9 CAPLUS
CN 1-Butanesulfonic acid, 4,4,4-trifluoro-, 4-[2-(3-cyano-5-fluorophenyl)-4-[[(1-ethylbutyl)amino]carbonyl]-5-(hydroxymethyl)-1H-imidazol-1-yl]phenyl ester (9CI) (CA INDEX NAME)

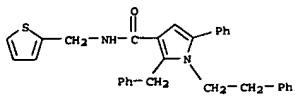


L6 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:456735 CAPLUS
DOCUMENT NUMBER: 145:145480
TITLE: Solution Phase Synthesis of a Library of Tetrasubstituted Pyrrole Amides
AUTHOR(S): Bianchi, Ivana; Forlani, Roberto; Minetto, Giacomo; Peretto, Ilaria; Regalia, Nickolas; Taddei, Maurizio; Raveglia, Luca F.
CORPORATE SOURCE: NiKem Research, Baranzate, Milan, 20021, Italy
SOURCE: Journal of Combinatorial Chemistry (2006), 8(4), 491-499
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An efficient strategy for the solution-phase parallel synthesis of a library of pyrrole amides is described. Key reactions include functional homologation of β-ketoesters with a set of aldehydes followed by oxidation to produce a series of differently substituted 1,4-dicarbonyl compds. Rapid cyclization using a microwave-assisted Paal-Knorr reaction provided a set of 24 pyrrole esters that were further functionalized through a trimethylaluminum-mediated aminolysis to obtain a larger library of 288 diverse pyrrole-3-amides. The tetrasubstitution allows a good exploration of the chemical space around the central pyrrole core. The last step was entirely automated with a Bohdan Myriad personal synthesizer.
IT 898222-10-1P 898222-12-3P
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(solution-phase parallel synthesis of a library of pyrrole amides via homologation of β-ketoesters with aldehydes, oxidation, microwave-assisted Paal-Knorr cyclocondensation with amines, and trimethylaluminum-mediated aminolysis)
RN 898222-10-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(2-furanylmethyl)-5-phenyl-1-(2-phenylethyl)-2-propyl- (9CI) (CA INDEX NAME)



RN 898222-12-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-phenyl-1-(2-phenylethyl)-2-(phenylmethyl)-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:411734 CAPLUS
DOCUMENT NUMBER: 144:456511
TITLE: Pharmaceutical compositions comprising CB1 receptor antagonists and potassium channel openers for the treatment of diabetes mellitus type I, obesity and related conditions
INVENTOR(S): Firnges, Michael; Gregory, Peter-Colin; Antel, Jochen;
Lange, Josephus Hubertus Maria; Waldeck, Harald
PATENT ASSIGNEE(S): Solvay Pharmaceuticals GmbH, Germany
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006045799 | A2 | 20060504 | WO 2005-EP55534 | 20051025 |
| WO 2006045799 | A3 | 20060727 | | |
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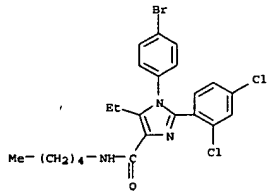
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 2006128673 | A1 | 20060615 | US 2005-257056 | 20051025 |
| PRIORITY APPLN. INFO.: | | | EP 2004-105265 A | 20041025 |
| | | | US 2004-621077P P | 20041025 |
| | | | US 2005-651625P P | 20050211 |

OTHER SOURCE(S): MARPAT 144:456511

AB Described is a novel combination therapy for diabetes mellitus type I and/or for obesity and its concomitant and/or secondary diseases or conditions, in particular the metabolic syndrome and/or syndrome X, and/or diabetes mellitus type II, by administering a combination of at least one KATP channel opener as a first active agent and at least one CB1 cannabinoid receptor antagonist as a second active agent. The invention is further directed to such novel combination therapy wherein a dually acting compound with combined KATP channel opening and CB1 antagonistic properties is used. The invention also relates to novel pharmaceutical compns. comprising KATP channel openers and CB1 antagonists and the use of said pharmaceutical compns. in the treatment, delayed progression, delayed onset of and/or inhibition of diabetes mellitus type I, and the prophylaxis and treatment, of obesity as well as the prophylaxis, treatment, delayed onset and/or inhibition of its concomitant and/or secondary diseases or conditions, in particular the metabolic syndrome and/or syndrome X, and/or diabetes mellitus type II, in mammals and humans. The invention is further directed to such novel pharmaceutical compns. comprising a dually acting compd. with combined KATP channel opening and CB1 antagonistic properties. The test confirms the lack of agonist effect and the potency of the candidate com-pounds to inhibit glucose-stimulated insulin release and thus their potential to preserve pancreatic beta cell function and to prevent or delay the progression of diabetes. Thus, (4S)-3-(4-chlorophenyl)-N'-[(4-chlorophenyl)sulfonyl]-N-methyl-4-25-phenyl-4,5-dihydro-1-H-pyrazole-1-carboximidamide (I) produced a sustained non-dose-dependant redn. in rats body wt. at all dosed administered. Capsules contained I 50, corn starch 150, lactose 150, talc 15, magnesium stearate 15, and corn starch 20 mg.

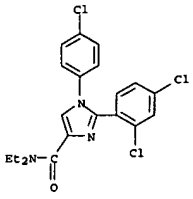
IT 505073-48-3, 1-(4-Bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl-1H-imidazole-4-carboxamide 505073-66-5, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl-1H-imidazole-4-carboxamide 505074-51-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising CB1 receptor antagonists and potassium channel openers for treatment of diabetes mellitus type I, obesity and related conditions)

RN 505073-48-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl- (9CI) (CA INDEX NAME)

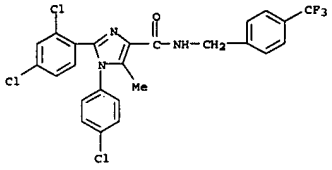


RN 505073-66-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 505074-51-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

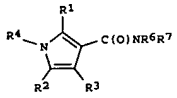
ACCESSION NUMBER: 2006:332235 CAPLUS
DOCUMENT NUMBER: 144:350539
TITLE: Preparation of pyrrolecarboxamide derivatives as mineralocorticoid receptor antagonists for use against cancer and other disorders
INVENTOR(S): Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa Esther; Platt, Brenton T.; Forsyth, Timothy Patrick; Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann, Grace; Martin, Richard; Mohan, Raju; Murphy, Brett; Nyman, Michael Charles; Stevens, William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason H.
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 477 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006012642 | A2 | 20060202 | WO 2005-US26916 | 20050730 |
| WO 2006012642 | A3 | 20060727 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-592439P P 20040730
US 2004-592469P P 20040730

OTHER SOURCE(S): MARPAT 144:350539
GI



I

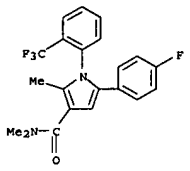
L6 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Pyrrolecarboxamide derivs. (shown as I; other Markush structures for pyrrolecarboxamides are defined in the claims; variables defined below; e.g. 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid N-[4-(sulfamoyl)phenyl]amide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquant. IC50 values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the spironolactone control. For I: R1 and R2 = H, halo, cyano, or (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl, or -OR9, -SR9, -N(R9)2, -C(O)OR9 or -C(O)N(R9)2; R3 = H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or (un)substituted alkynyl; R4 is H, -C(O)R9, -S(O)2R9, or (un)substituted alkyl, alkenyl or alkynyl, or R4 is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R6 is H or (un)substituted alkyl; R7 is (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for many examples of I are included. For example, II was prepared in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with preparation of 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by preparation of the following intermediates: 1-(4-fluoro-2-trifluoromethylphenyl)-2,5-dimethyl-1H-pyrrole-3-carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carbonyl chloride and finally amide formation with sulfanilamide.

IT 880779-33-9P, 5-(4-Fluorophenyl)-2-methyl-1-(2-trifluoromethylphenyl)-1H-pyrrole-3-carboxylic acid dimethylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolecarboxamide derivs. as mineralocorticoid receptor antagonists for use against cancer and other disorders)

RN 880779-33-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-N,N,2-trimethyl-1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1354478 CAPLUS
DOCUMENT NUMBER: 144:88561
TITLE: Preparation of amino acid heterocyclic derivatives for treatment of hyperlipidemia and related diseases
INVENTOR(S): Sircar, Jagadish C.; Thomas, Richard J.; Khatuya, Haripada; Nikoulin, Igor
PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005123686 | A1 | 20051229 | WO 2005-US20660 | 20050609 |

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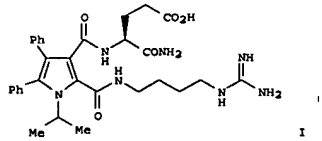
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 2006009487 | A1 | 20060112 | US 2005-149067 | 20050609 |

PRIORITY APPLN. INFO.: US 2004-578227P P 20040609

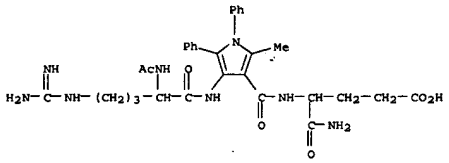
GI



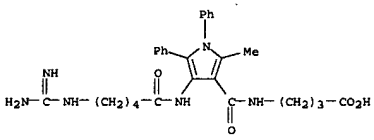
I

AB The invention provides compns. adapted to enhance reverse cholesterol transport in mammals and which are suitable for oral delivery and useful in the treatment and/or prevention of hypercholesterolemia, atherosclerosis and associated cardiovascular diseases. Mediators of reverse cholesterol transport comprise a structure having components A, B and C, where A comprises an acidic moiety having an acidic group or a bioisostere, B comprises an aromatic or lipophilic moiety having at least a

L6 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
portion of HMGCoA reductase inhibitor or an analog, and C comprises a basic moiety having a basic group or bioisostere. An example describes the synthesis of lipophilic group-modified peptide sequence I.TFA based on
atorvastatin.
IT 872406-24-1P 872406-25-2P 872406-26-3P
872406-27-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid heterocyclic derivs. for treatment of hyperlipidemia and related diseases)
RN 872406-24-1 CAPLUS
CN Pentanoic acid, 4-[[[4-[[2-(acetylamino)-5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]-5-amino-5-oxo- (9CI) (CA INDEX NAME)

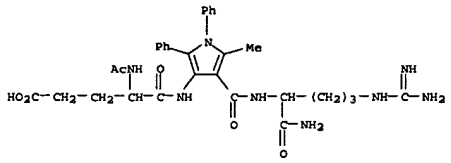


RN 872406-25-2 CAPLUS
CN Butanoic acid, 4-[[[4-[[5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

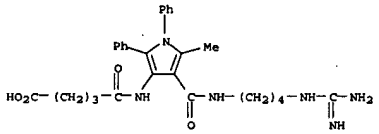


RN 872406-26-3 CAPLUS
CN Pentanoic acid, 4-(acetylamino)-5-[[4-[[[1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-5-methyl-1,2-diphenyl-1H-pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 872406-27-4 CAPLUS
CN Pentanoic acid, 5-[[4-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-5-methyl-1,2-diphenyl-1H-pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

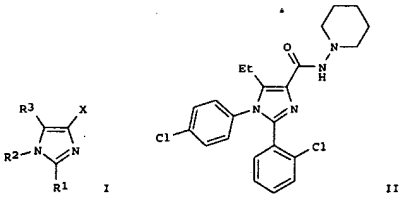
L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1154377 CAPLUS
DOCUMENT NUMBER: 143:422349
TITLE: Preparation of imidazole derivatives for promoting smoking cessation
INVENTOR(S): Gardell, Stephen J.
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005099705 | A2 | 20051027 | WO 2005-US8904 | 20050318 |
| WO 2005099705 | A3 | 20060119 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-555920P P 20040324

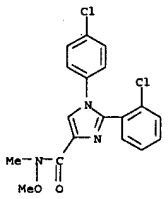
OTHER SOURCE(S): MARPAT 143:422349
GI



AB The title compds. I [R1, R2 = (un)substituted Ph, alkyl, (un)substituted cyclohexyl, etc.; R3 = H, alkyl, CH2Ph, Cl, Br; X = CONR4R5 (wherein R4 = H, alkyl; R5 = (un)substituted alkyl, bicycloalkyl, CH2Ph, etc.; or NR4R5 = (un)substituted 5-10 membered (un)saturated heterocyclyl), CONHSO2R10 (R10 =

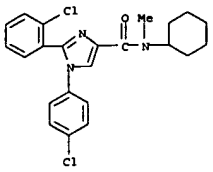


L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(un)substituted alkyl, Ph, benzocyclohexyl, benzocyclopentyl)] which are useful in promoting smoking cessation and maintaining abstinence, were prepd. E.g, a 2-step synthesis of II, starting from 2-chloro-N-(4-chlorophenyl)benzenecarboximidamide and Et 3-bromo-2-oxopentanoate, was given. The pharmaceutical compns. comprising the compd. I in combination with one or more nicotine replacement therapies or one of more nicotinic receptor modulators are disclosed.
IT 527369-03-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of imidazole derivs. for promoting smoking cessation)
RN 527369-03-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

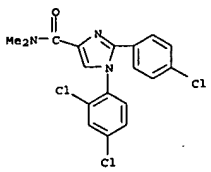


IT 527367-84-6P 527368-19-0P 527368-57-6P
527368-66-7P 527368-71-4P 527370-18-9P
527370-23-6P 527370-28-1P 527370-33-8P
527370-47-4P 527370-52-1P 527370-68-9P
527370-73-6P 527370-77-0P 527370-82-7P
527370-87-2P 527371-19-3P 527371-24-0P
527371-53-5P 527375-14-0P 527375-87-7P
527375-90-2P 527375-94-6P 527375-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole derivs. for promoting smoking cessation)
RN 527367-84-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-cyclohexyl-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

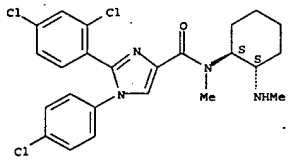


RN 527368-19-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N,N-
dimethyl- (9CI) (CA INDEX NAME)



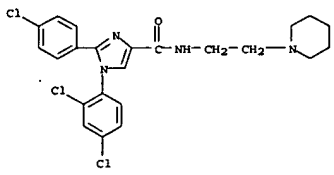
RN 527368-57-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methyl-
N-(1-methyl-3-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

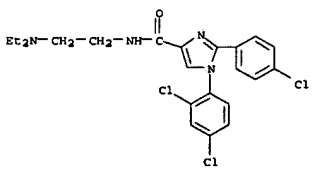


● HCl

RN 527370-18-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-
(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

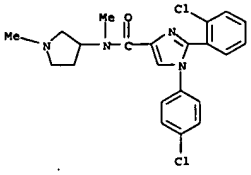


RN 527370-23-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-
(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 527370-28-1 CAPLUS

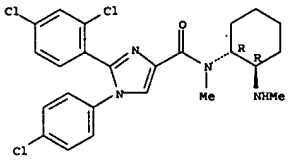
L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 527368-66-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-
methyl-N-[(1R,2R)-2-(methylamino)cyclohexyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

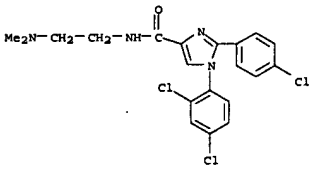


● HCl

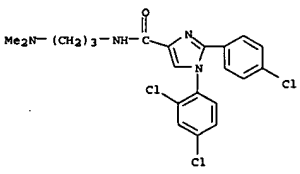
RN 527368-71-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-
methyl-N-[(1S,2S)-2-(methylamino)cyclohexyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

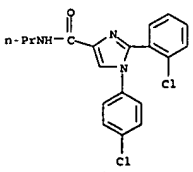
L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-
(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



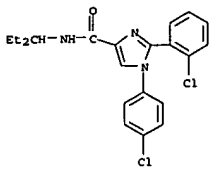
RN 527370-33-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[3-
(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



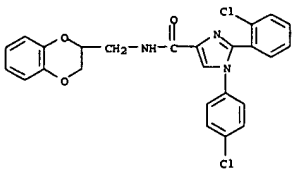
RN 527370-47-4 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-propyl-
(9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 527370-52-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-(1-ethylpropyl)- (9CI) (CA INDEX NAME)

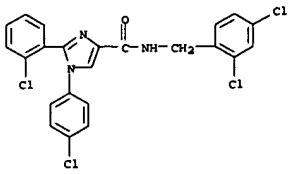


RN 527370-68-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

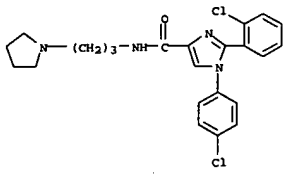


RN 527370-73-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



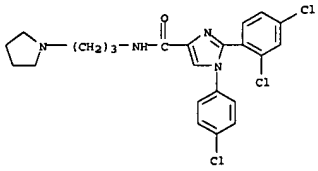
RN 527370-77-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



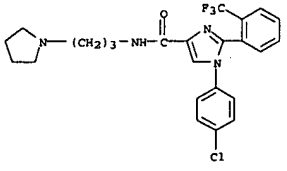
● HCl

RN 527370-82-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

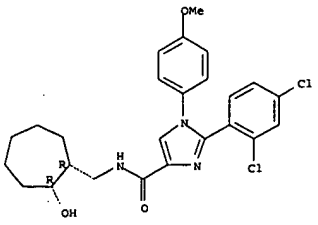


RN 527370-87-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 527371-19-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[[(1R,2R)-2-hydroxycycloheptyl]methyl]-1-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

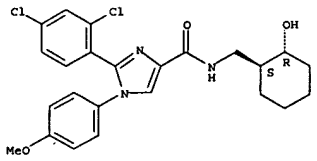
Relative stereochemistry.



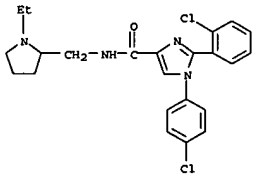
L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527371-24-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[[(1R,2S)-2-hydroxycyclohexyl]methyl]-1-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 527371-53-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

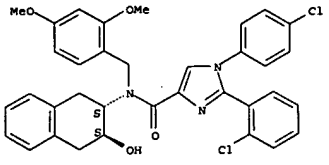


● HCl

RN 527375-14-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4-dimethoxyphenyl)methyl]-N-[(2R,3R)-1,2,3,4-tetrahydro-3-hydroxy-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

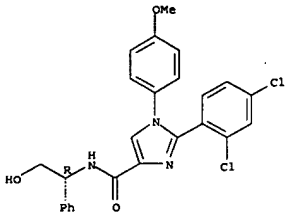
Relative stereochemistry.

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 527375-87-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1R)-2-hydroxy-1-phenylethyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

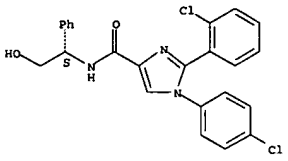
Absolute stereochemistry.



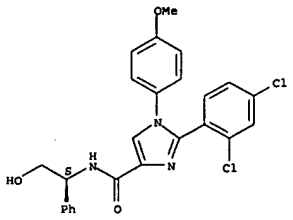
RN 527375-90-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1S)-2-hydroxy-1-phenylethyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

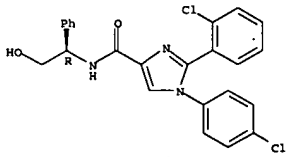


L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 527375-94-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1R)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527375-99-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1026892 CAPLUS
DOCUMENT NUMBER: 143:326363
TITLE: Preparation of substituted imidazoles as calcium ion channel modulators
INVENTOR(S): Zelle, Robert; Galullo, Vincent P.
PATENT ASSIGNEE(S): Scion Pharmaceuticals, Inc., USA; Wyeth
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005086902 | A2 | 20050922 | WO 2005-US7913 | 20050307 |
| WO 2005086902 | A3 | 20060706 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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|---|---|---|---|---|
| AU 2005221138 | A1 | 20050922 | AU 2005-221138 | 20050307 |
| CA 2557650 | A1 | 20050922 | CA 2005-2557650 | 20050307 |
| EP 1722786 | A2 | 20061122 | EP 2005-725219 | 20050307 |

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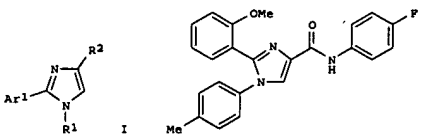
| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | US 2004-551394P | P | 20040308 |
| | WO 2005-US7913 | W | 20050307 |

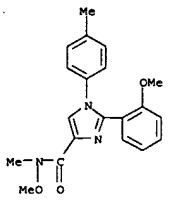
OTHER SOURCE(S): MARPAT 143:326363
GI



I II

AB The title imidazoles I [Ar1 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl; R1 = Ar2, alkyl optionally substituted with

L6 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Ar2 (wherein Ar2 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl); R2 = CO2R3, COAr3, CONR3R4, Ar3, CH2NR3R4; (R3 = H, alkyl; R4
= H, alkyl, CO2R5, etc.; R5 = H, alkyl, haloalkyl, etc.; Ar3 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl)] which can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets, were claimed. E.g., a 2-step synthesis of II, starting from Et 2-(2-methoxyphenyl)-1-p-tolyl-1H-imidazole-4-carboxylate (prepn. given), was given. Oocyte assays, HEK assays, and formalin tests were carried out (data given for representative
compds. I).
IT 865079-40-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted imidazoles as calcium ion channel modulators)
RN 865079-40-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-methoxy-2-(2-methoxyphenyl)-N-methyl-1-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1026876 CAPLUS
DOCUMENT NUMBER: 143:326362
TITLE: Preparation of substituted imidazoles as calcium ion channel modulators
INVENTOR(S): Zelle, Robert; Galullo, Vincent P.; Baker, Christopher
Todd; Will, Paul; Frazee, William J.; Mazdiyasni, Hormoz; Guo, Jinsong
PATENT ASSIGNEE(S): Scion Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 430 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005086836 | A2 | 20050922 | WO 2005-US7667 | 20050307 |
| WO 2005086836 | A3 | 20060105 | | |
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| AU 2005220911 | A1 | 20050922 | AU 2005-220911 | 20050307 |
| CA 2557637 | A1 | 20050922 | CA 2005-2557637 | 20050307 |
| EP 1723117 | A2 | 20061122 | EP 2005-725050 | 20050307 |
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PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 2004-551372P | P | 20040308 |
| US 2004-551395P | P | 20040308 |
| US 2004-551472P | P | 20040308 |
| US 2004-551473P | P | 20040308 |
| US 2004-551474P | P | 20040308 |
| US 2004-551480P | P | 20040308 |
| US 2004-551503P | P | 20040308 |
| US 2004-551510P | P | 20040308 |
| US 2004-551620P | P | 20040308 |

L6 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 2005-US7667 W 20050307

OTHER SOURCE(S): MARPAT 143:326362
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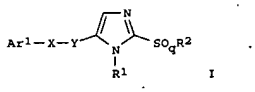

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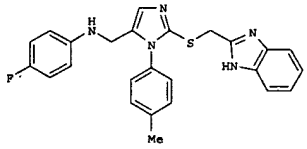
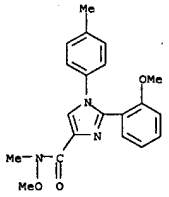


II

AB The title imidazoles such as I [Ar1 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl; X = NR3, C(R3)2, O; Y = C(O), alkylene; R1 = Ar2, alkyl optionally substituted with Ar2 (wherein Ar2 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl); q = 0-2; R2 = (CH2)mCO2R3, (CH2)mC(O)Ar3, (CH2)mAr3, etc. (R3 = H, alkyl; m = 1-2; Ar3 = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl)] which can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets, were prepared E.g., a multi-step
synthesis of II, starting from p-toluidine, was given. Oocyte assays, HEK
assays, and formalin tests were carried out (no data given).
IT 865079-40-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted imidazoles as calcium ion channel modulators)
RN 865079-40-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-methoxy-2-(2-methoxyphenyl)-N-methyl-1-(4-methylphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

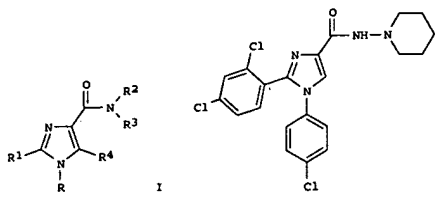


L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:220141 CAPLUS
DOCUMENT NUMBER: 142:280212
TITLE: Preparation of 1H-imidazole-4-carboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurological disorders
INVENTOR(S): Kruse, Cornelis G.; Lange, Josephus H. M.; Herremans, Arnoldus H. J.; Van Stuivenberg, Herman H.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 490,019.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005054679 | A1 | 20050310 | US 2004-912171 | 20040806 |
| US 7109216 | B2 | 20060919 | | |
| WO 2003027076 | A2 | 20030403 | WO 2002-EP10434 | 20020917 |
| WO 2003027076 | A3 | 20031120 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004235854 | A1 | 20041125 | US 2004-490019 | 20040319 |
| US 2005267161 | A1 | 20051201 | US 2005-138289 | 20050527 |
| PRIORITY APPLN. INFO.: | | | EP 2001-203851 A | 20010921 |
| | | | WO 2002-EP10434 W | 20020917 |
| | | | US 2004-490019 A2 | 20040319 |
| | | | US 2004-574939P P | 20040528 |

OTHER SOURCE(S): CASREACT 142:280212; MARPAT 142:280212
GI





I II

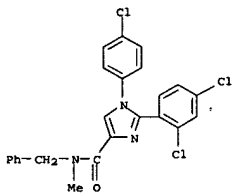
AB Title compds. I [wherein R = (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, or triazinyl; R1 = (un)substituted Ph
or pyridinyl; R2 = H or (cyclo)alkyl or (cyclo)alkenyl optionally interrupted by S, O, or N; R3 = (un)substituted (cyclo)alkyl, (cyclo)alkoxy, bicycloalkyl, tricycloalkyl, or (cyclo)alkenyl optionally interrupted by N, O, or S; or R3 = pyridinyl or Ph when R4 = H; or R3 = NR5R6 when R2 = H or Me; or NR2R3 = (un)substituted heterocyclyl; R4 = H, halo, CN, carbamoyl, formyl, acetyl, CF3CO, FCH2CO, EtCO, sulfamoyl, MeSO2, MeS, or (un)substituted alkyl; R5 and R6 = independently alkyl; or NR5R6 = (un)substituted heterocyclyl; and prodrugs, stereoisomers, and salts thereof] were prepared as potent cannabinoid (CB1) receptor agonists,
partial agonists, or antagonists. For example, reaction of 4-chloroaniline with 2,4-dichlorobenzonitrile in the presence of sodium bis(trimethylsilyl)amide in THF provided N-(4-chlorophenyl)-2,4-dichlorobenzenecarboxamidine (42%). Cyclization of the carboxamidine with
Et 3-bromo-2-oxopropanoate in a solution of NaHCO3 and isopropanol gave the
imidazolecarboxylate (29%), which was converted to the imidazolecarbonyl chloride (no data). Amidation with 1-aminopiperidine using TEA in CH2Cl2 afforded II (26%). Selected I bound to hCB1 receptor with pKi values in the range of 7.0 to 8.4. I are useful for the treatment of psychiatric and neurol. disorders, as well as and other diseases involving cannabinoid
neurotransmission (no data).

IT 505073-32-5P, N-(Benzyl)-1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-1H-imidazole-4-carboxamide 505073-48-3P, 1-(4-Bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl-1H-imidazole-4-carboxamide 505073-56-3P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(4-fluorobenzyl)-1H-imidazole-4-carboxamide 505073-63-2P, 1-(4-Chlorophenyl)-2-(2-methoxy-4-chlorophenyl)-N-pentyl-1H-imidazole-4-carboxamide 505073-66-5P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl-1H-imidazole-4-carboxamide 505073-71-2P, 1-(4-Chlorophenyl)-N-(2,2,2-trifluoroethyl)-2-(2-trifluoromethyl-4-chlorophenyl)-1H-imidazole-4-carboxamide 505073-89-2P, 1-(4-Chlorophenyl)-2-(2,4-



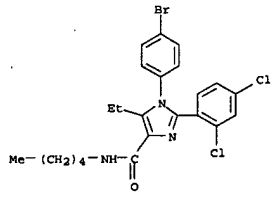
dichlorophenyl)-N,N,5-trimethyl-1H-imidazole-4-carboxamide 505074-05-5P, 1-(4-Chlorophenyl)-2-(2-methoxy-4-chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 1-(4-Chlorophenyl)-2-(2-fluoro-4-chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-18-0P, 2-(2-Chlorophenyl)-1-(3-fluorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-21-5P, 2-(2-Chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl-1H-imidazole-4-carboxamide 505074-32-8P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-fluoroethyl)-5-methyl-1H-imidazole-4-carboxamide 505074-36-2P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(4-fluorobenzyl)-5-methyl-1H-imidazole-4-carboxamide 505074-50-0P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[3-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide 505074-51-1P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[4-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CB1 modulator; prepn. of imidazolecarboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurol. disorders)

RN 505073-32-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

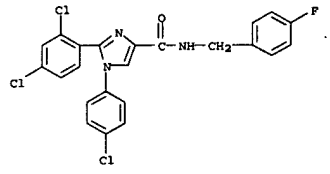


RN 505073-48-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl- (9CI) (CA INDEX NAME)

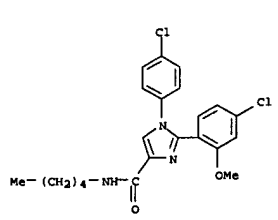




RN 505073-56-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

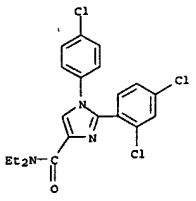


RN 505073-63-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-N-pentyl- (9CI) (CA INDEX NAME)

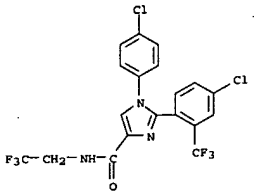


RN 505073-66-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
diethyl- (9CI) (CA INDEX NAME)

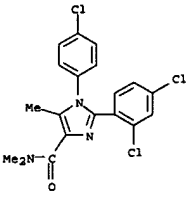


RN 505073-71-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-[4-chloro-2-(trifluoromethyl)phenyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

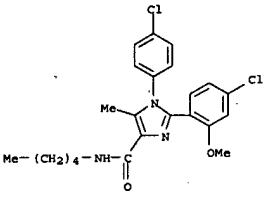


RN 505073-89-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

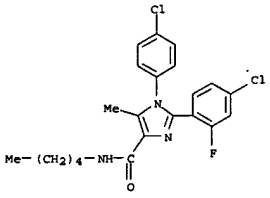


RN 505074-05-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

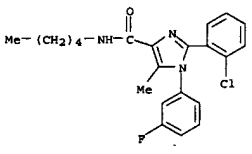


RN 505074-13-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-fluorophenyl)-1-(4-chlorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

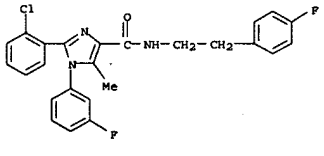
L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 505074-18-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(3-fluorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

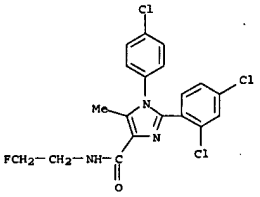


RN 505074-21-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

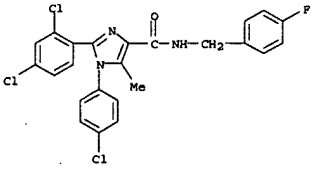


RN 505074-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-fluoroethyl)-5-methyl- (9CI) (CA INDEX NAME)

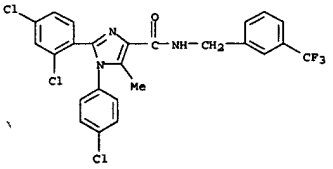
L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 505074-36-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]-5-methyl- (9CI) (CA INDEX NAME)

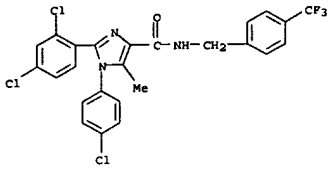


RN 505074-50-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

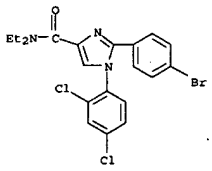


RN 505074-51-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

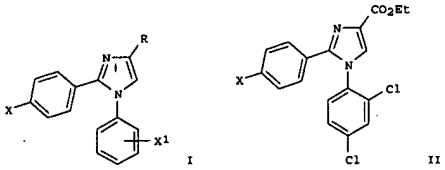


L6 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Cl) to modulate the GABA function.
IT 850339-40-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and GABA-A receptor binding structure-activity of substituted
diphenylimidazoles)
RN 850339-40-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:164961 CAPLUS
DOCUMENT NUMBER: 142:411290
TITLE: Synthesis, Structure-Activity Relationships at the GABAA Receptor in Rat Brain, and Differential Electrophysiological Profile at the Recombinant Human GABAA Receptor of a Series of Substituted 1,2-Diphenylimidazoles
AUTHOR(S): Asproni, Battistina; Talani, Giuseppe; Busonero, Fabio; Pau, Amedeo; Sanna, Sebastiano; Cerri, Riccardo; Mascia, Maria Paola; Sanna, Enrico; Biggio, Giovanni
CORPORATE SOURCE: Dipartimento Farmaco Chimico Tossicologico, Universita
di Sassari, Sassari, Italy
SOURCE: Journal of Medicinal Chemistry (2005), 48(7), 2638-2645
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:411290
GI



AB A series of new 1,2-diphenylimidazole derivs. I (R = H, Me, CO2H, CO2Me, CO2Et, CO2Pr, CONEt2, etc.; X = H, F, Cl, Br, iodo, Me, OMe, NO2, NH2, NHAc; X1 = H, 3-Cl, 4-Cl, 4-F, 3,4-CL2, 2,4-Cl2) were synthesized and evaluated for their ability to potentiate γ-aminobutyric acid (GABA)-evoked currents in Xenopus laevis oocytes expressing recombinant human GABAA receptors. Many of these compds. enhanced GABA action with potencies (EC50 = 0.19-19 μM) and efficacies (maximal efficacies of up to 640%) similar to or greater than those of anesthetics such as etomidate, propofol, and alphaxalone. Structure-activity relationship anal. revealed that the presence of an ester moiety in the imidazole ring was required for full agonist properties, while modifications made in the Ph rings affected potency and efficacy, with II (X = Br) showing the highest potency. These compds. potentiated the [3H]GABA binding to rat brain membranes, suggesting a site of interaction different from that of GABA. As for etomidate, mutation of asparagine-265 in the β2 subunit of the GABAA receptor into serine reduced the ability of derivative II (X =

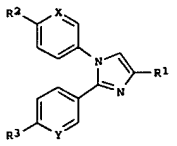
L6 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:996115 CAPLUS
DOCUMENT NUMBER: 141:410930
TITLE: Preparation of imidazole derivatives as cyclooxygenase
(COX) inhibitors
INVENTOR(S): Takahashi, Fumie; Terasaka, Tadashi; Morita, Masataka;
Konishi, Nobukiyo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004099130 | A2 | 20041118 | WO 2004-JP5987 | 20040426 |
| WO 2004099130 | A3 | 20050127 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2524889 | A1 | 20041118 | CA 2004-2524889 | 20040426 |
| EP 1620406 | A2 | 20060201 | EP 2004-729517 | 20040426 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CN 1784386 | A | 20060607 | CN 2004-80012372 | 20040426 |
| JP 2006525320 | T | 20061109 | JP 2006-507723 | 20040426 |

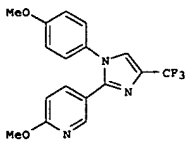
PRIORITY APPLN. INFO.: AU 2003-902208 A 20030508
AU 2003-903861 A 20030724
AU 2003-904068 A 20030801
WO 2004-JP5987 W 20040426

OTHER SOURCE(S): MARPAT 141:410930
GI

L6 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

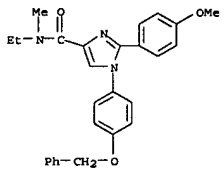


II

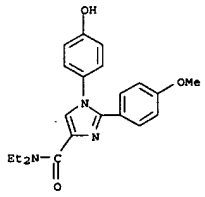
AB Title compds. I [wherein R1 = (un)substituted (cyclo)alkyl, carbamoyl, cyano, formyl, carboxy or carbonyl; R2 = hydroxy, halo, cyano, or alkoxy; R3 = alkoxy or amino; X, Y = CH or N; et al., or pharmaceutically acceptable salts thereof], were prepared as cyclooxygenase (COX) inhibitors.
E.g., addition reaction of p-anisidine with 6-methoxy-3-pyridinecarbonitrile using NaHMDS as base (58.4%) followed by cyclization with 3-bromo-1,1,1-trifluoro-2-propanone (21.5%) gave imidazole II. Tested compds. I, including II, showed effective analgesic activity (coefficient >1.5) on adjuvant arthritis at a dose of 3.2 mg/kg, and selectively inhibited COX-I with IC50 (μM) of <0.01 against COX-I (vs. ≥ 0.1 against COX-II). I are therefore useful for the treatment and/or prevention of the diseases associated with COX, such as inflammation, pain, collagen, autoimmune, immunity, thrombosis, cancer and neurodegenerative diseases.

IT 726196-53-8P 726196-55-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(COX inhibitor; preparation of imidazoles as cyclooxygenase (COX) inhibitors)

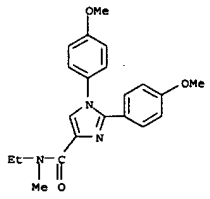
RN 726196-53-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-ethyl-2-(4-methoxyphenyl)-N-methyl-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

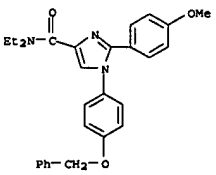


RN 792930-95-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-ethyl-1,2-bis(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



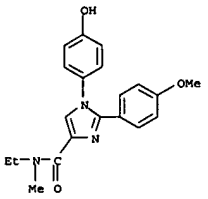
L6 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 726196-55-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,N-diethyl-2-(4-methoxyphenyl)-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



IT 726196-54-9P 726196-56-1P 792930-95-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(COX inhibitor; preparation of imidazoles as cyclooxygenase (COX) inhibitors)

RN 726196-54-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-ethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 726196-56-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,N-diethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:963181 CAPLUS
DOCUMENT NUMBER: 141:379941
TITLE: Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists
INVENTOR(S): Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 988 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2004315511 | A | 20041111 | JP 2004-95046 | 20040329 |
| PRIORITY APPLN. INFO.: | | | JP 2003-93418 A | 20030331 |

OTHER SOURCE(S): MARPAT 141:379941
GI

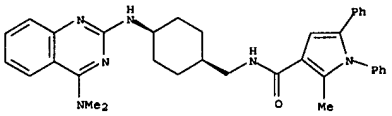
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNHBoc, (un)substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3 alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are prepared These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50° under H atmospheric for 3 days gave a solution of cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purification using HPLC and treatment with 4 N HCl/EtOAc, compound (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca2+ flux with IC50 of 6 μg/mL.

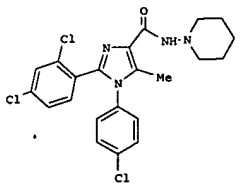
IT 510743-47-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as melanin-concentrating hormone (MCH) receptor antagonists for prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression)

L6 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 510743-47-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



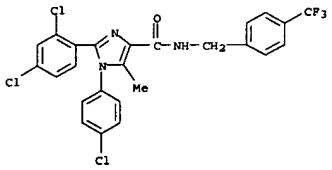
L6 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:790826 CAPLUS
DOCUMENT NUMBER: 142:219202
TITLE: Bioisosteric Replacements of the Pyrazole Moiety of Rimonabant: Synthesis, Biological Properties, and Molecular Modeling Investigations of Thiazoles, Triazoles, and Imidazoles as Potent and Selective CB1 Cannabinoid Receptor Antagonists
AUTHOR(S): Lange, Jos H. M.; van Stuivenberg, Herman H.; Coolen, Hein K. A. C.; Adolfs, Tiny J. P.; McCreary, Andrew C.; Kruse, Chris G.; Keizer, Hiskias G.; Wals, Henri C.; Veerman, Willem; Borst, Alice J. M.; de Looff, Wouter; Verveer, Peter C.
CORPORATE SOURCE: Research Laboratories, Solvay Pharmaceuticals, Weesp, 1381 CP, Neth.
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1823-1838
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:219202
GI



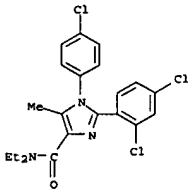
I

AB Series of thiazoles, triazoles, and imidazoles were designed as bioisosteres, based on the 1,5-diarylpyrazole motif that is present in the potent CB1 receptor antagonist rimonabant. A number of target compds. were synthesized and evaluated in cannabinoid (hCB1 and hCB2) receptor assays. The thiazoles, triazoles, and imidazoles elicited in vitro CB1 antagonistic activities and in general exhibited considerable CB1 vs CB2 receptor subtype selectivities, thereby demonstrating to be cannabinoid bioisosteres of the original diarylpyrazole class. Some key representatives in the imidazole series showed potent pharmacol. in vivo activities after oral administration in both a CB agonist-induced hypotension model and a CB agonist-induced hypothermia model. Mol. modeling studies showed a close three-dimensional structural overlap between the imidazole I and rimonabant. A structure-activity relationship (SAR) study revealed a close correlation between the biol. results in the imidazole and pyrazole series.

L6 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IT 505074-51-1P 796875-36-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of imidazole, thiazole, and triazole analogs of rimonabant as potent and selective CB1 cannabinoid receptor antagonists)
RN 505074-51-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

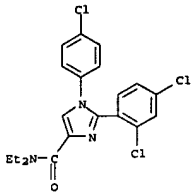


RN 796875-36-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)



IT 505073-66-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazole, thiazole, and triazole analogs of rimonabant as potent and selective CB1 cannabinoid receptor antagonists)
RN 505073-66-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-

L6 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
diethyl- (9CI) (CA INDEX NAME)

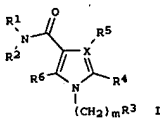


REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

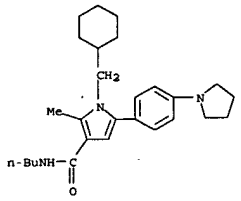
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:589538 CAPLUS
DOCUMENT NUMBER: 141:140442
TITLE: Preparation of pyrrole and imidazole derivatives as CB 1 receptor inverse agonists
INVENTOR(S): Mayweg, Alexander; Marty, Hans Peter; Mueller, Werner; Narquizian, Robert; Neidhart, Werner; Pflieger, Philippe; Roever, Stephan
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004060870 | A1 | 20040722 | WO 2003-EP14720 | 20031222 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2511859 | A1 | 20040722 | CA 2003-2511859 | 20031222 |
| AU 2003298227 | A1 | 20040729 | AU 2003-298227 | 20031222 |
| US 2004167129 | A1 | 20040826 | US 2003-743642 | 20031222 |
| EP 1583742 | A1 | 20051012 | EP 2003-795949 | 20031222 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003017926 | A | 20051129 | BR 2003-17926 | 20031222 |
| CN 1735593 | A | 20060215 | CN 2003-80108268 | 20031222 |
| JP 2006521281 | T | 20060921 | JP 2004-564210 | 20031222 |
| PRIORITY APPLN. INFO.: | | | EP 2003-3 A | 20030102 |
| | | | WO 2003-EP14720 W | 20031222 |

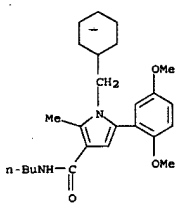
OTHER SOURCE(S): MARPAT 141:140442
GI



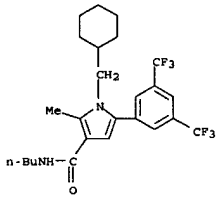
AB Title compds. I (X = C, N; R1 = H, alkyl; R2 = alkyl, cycloalkyl, etc.; R3 = cycloalkyl, Ph, substituted Ph, etc.; R4 = heteroaryl, Ph, substituted Ph, etc.; R5, R6 = H, alkyl, halomethyl; m = 0, 1, 2) and pharmaceutically acceptable salts are prepared Thus, 1-cyclohexylmethyl-2-methyl-5-(4-pyrrolidin-1-yl)phenyl-1H-pyrrole-3-carboxylic acid butylamide was prepared and showed excellent affinity for CB 1 receptor. Formulations containing I were given. The compds. are useful for the treatment . and/or prophylaxis of diseases which are associated with the modulation of CB 1 receptors.
IT 725740-41-0P 725740-43-2P 725740-63-6P
725740-74-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrole and imidazole derivs. as CB 1 receptor inverse agonists)
RN 725740-41-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-[4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



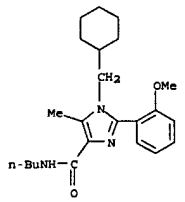
RN 725740-43-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 725740-63-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[3,5-bis(trifluoromethyl)phenyl]-N-butyl-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

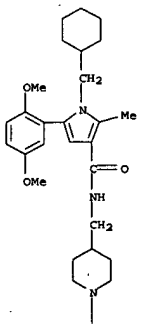


RN 725740-74-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)



IT 725743-35-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrole and imidazole derivs. as CB 1 receptor inverse agonists)
RN 725743-35-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-1H-pyrrol-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



IT 725740-23-8P 725740-24-9P 725740-25-0P
725740-26-1P 725740-27-2P 725740-28-3P
725740-29-4P 725740-30-7P 725740-31-8P
725740-32-9P 725740-33-0P 725740-34-1P
725740-35-2P 725740-36-3P 725740-37-4P
725740-38-5P 725740-39-6P 725740-42-1P
725740-44-3P 725740-45-4P 725740-46-5P
725740-47-6P 725740-49-8P 725740-50-1P
725740-51-2P 725740-53-4P 725740-58-9P
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725740-66-9P 725740-67-0P 725740-71-6P
725740-72-7P 725740-73-8P 725740-75-0P
725740-77-2P 725740-80-7P 725740-81-8P
725740-87-4P 725740-89-6P 725740-90-9P
725740-92-1P 725740-93-2P 725740-94-3P
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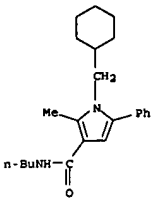
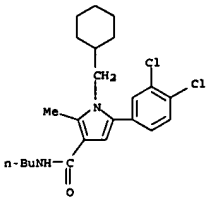
725742-48-3P 725742-52-9P 725742-56-3P
725742-62-1P 725742-89-2P 725742-92-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrole and imidazole derivs. as CB 1 receptor inverse agonists)

RN 725740-23-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)



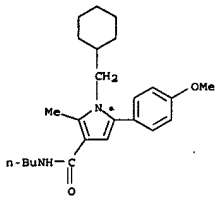


RN 725740-24-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

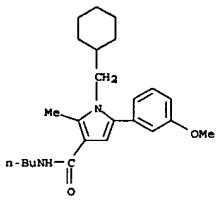


RN 725740-25-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



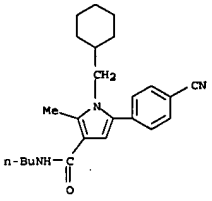


RN 725740-26-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 725740-27-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(4-cyanophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)





RN 725740-28-3 CAPLUS
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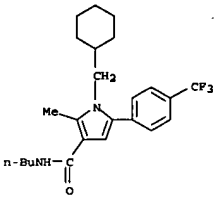
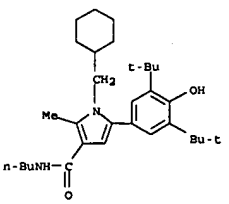
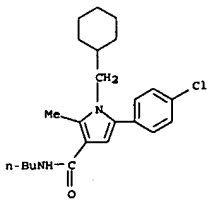


RN 725740-29-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-butyl-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

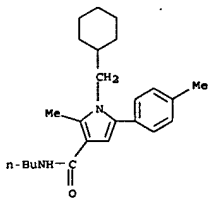


L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-30-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(4-chlorophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 725740-31-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 725740-32-9 CAPLUS
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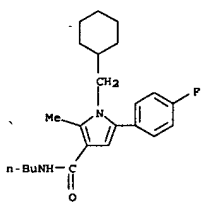
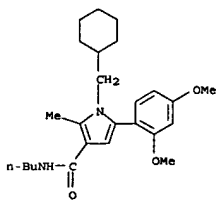
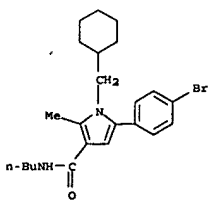
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 725740-35-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,4-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 725740-36-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-N-butyl-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)



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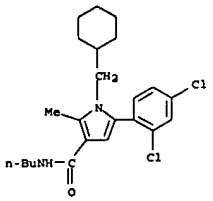
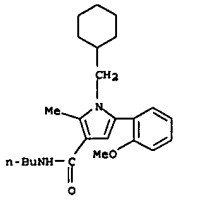
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



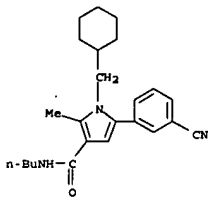
RN 725740-33-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



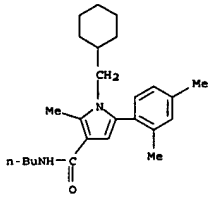
RN 725740-34-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-37-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(3-cyanophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

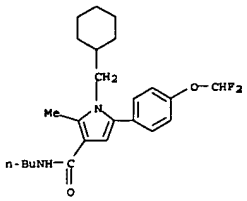


RN 725740-38-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,4-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

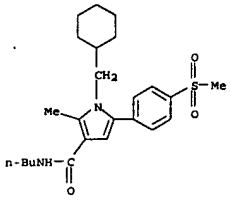


RN 725740-39-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-[4-(difluoromethoxy)phenyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

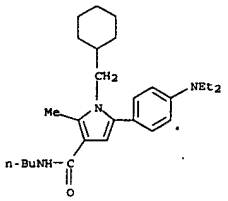


RN 725740-42-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

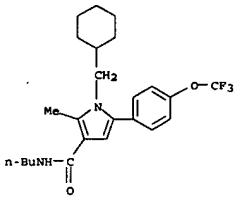


RN 725740-44-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3,4-difluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

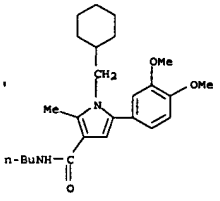
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



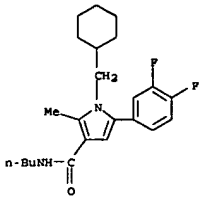
RN 725740-47-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



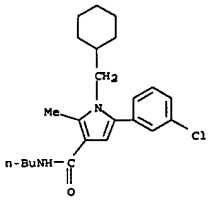
RN 725740-49-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3,4-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

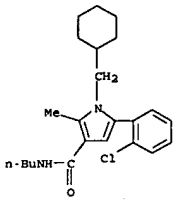


RN 725740-45-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(3-chlorophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

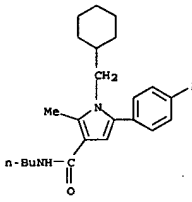


RN 725740-46-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-[4-(diethylamino)phenyl]-2-methyl- (9CI) (CA INDEX NAME)

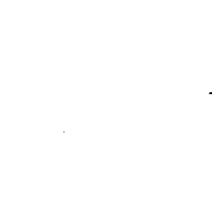
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 725740-50-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(2-chlorophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

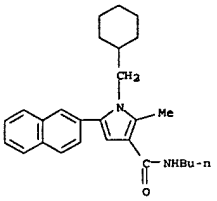


RN 725740-51-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

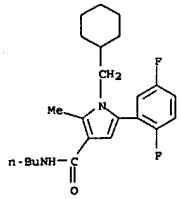


RN 725740-53-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

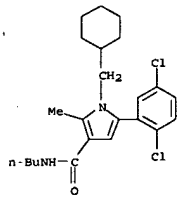


RN 725740-58-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-difluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

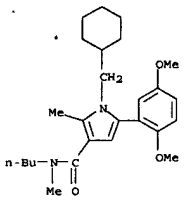


RN 725740-59-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(4-hydroxy-3-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

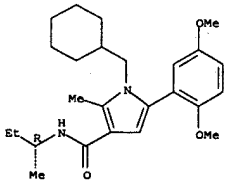


RN 725740-66-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N,2-dimethyl- (9CI) (CA INDEX NAME)



RN 725740-67-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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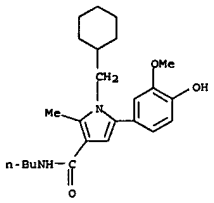
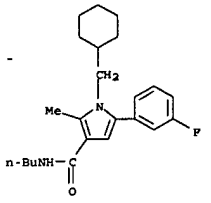
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



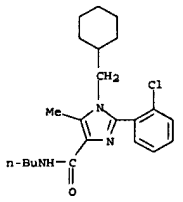
RN 725740-60-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



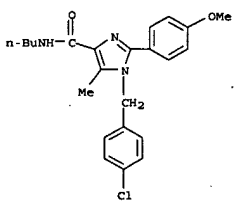
RN 725740-62-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-71-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(2-chlorophenyl)-1-(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

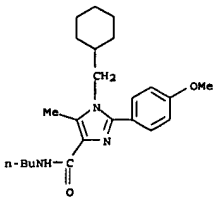


RN 725740-72-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-[(4-chlorophenyl)methyl]-2-(4-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

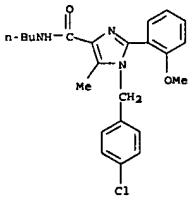


RN 725740-73-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-(4-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

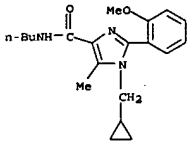
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



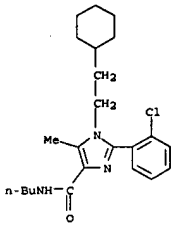
RN 725740-75-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-[(4-chlorophenyl)methyl]-2-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)



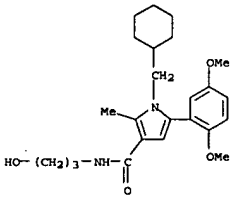
RN 725740-77-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclopropylmethyl)-2-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



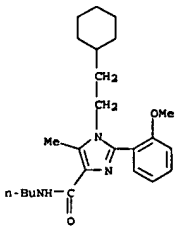
RN 725740-89-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(3-hydroxypropyl)-2-methyl- (9CI) (CA INDEX NAME)



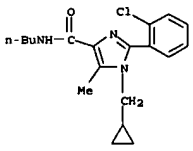
RN 725740-90-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-N-(cyclopropylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-80-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(2-cyclohexylethyl)-2-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

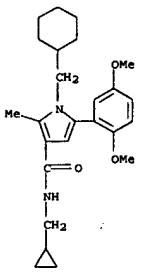


RN 725740-81-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(2-chlorophenyl)-1-(cyclopropylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

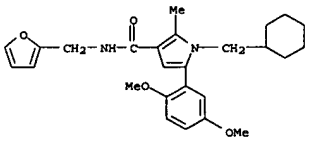


RN 725740-87-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(2-chlorophenyl)-1-(2-cyclohexylethyl)-5-methyl- (9CI) (CA INDEX NAME)

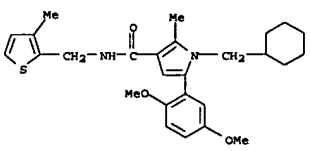
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 725740-92-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(2-furanylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

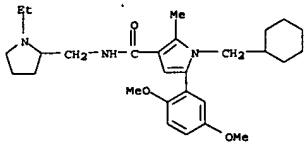


RN 725740-93-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-[(3-methyl-2-thienyl)methyl]- (9CI) (CA INDEX NAME)

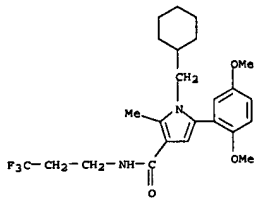


RN 725740-94-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

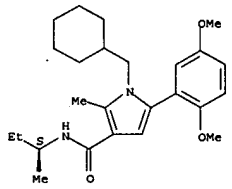


RN 725740-95-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

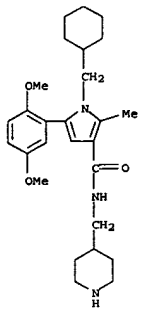


RN 725740-96-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



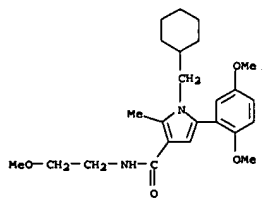
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 725741-23-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(2-methoxyethyl)-2-methyl- (9CI) (CA INDEX NAME)



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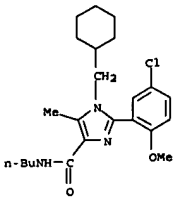
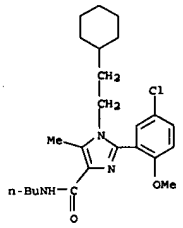
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-97-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(5-chloro-2-methoxyphenyl)-1-(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 725740-98-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(5-chloro-2-methoxyphenyl)-1-(2-cyclohexylethyl)-5-methyl- (9CI) (CA INDEX NAME)



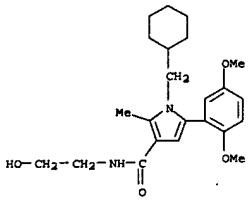
RN 725741-22-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-(4-piperidinylmethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

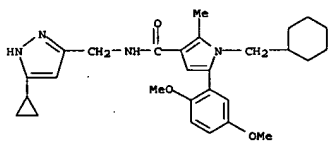
CRN 725741-21-9
CMF C27 H39 N3 O3

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-32-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(2-hydroxyethyl)-2-methyl- (9CI) (CA INDEX NAME)



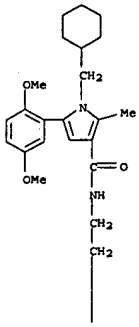
RN 725741-33-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-N-[(5-cyclopropyl-1H-pyrazol-3-yl)methyl]-5-(2,5-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 725741-34-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

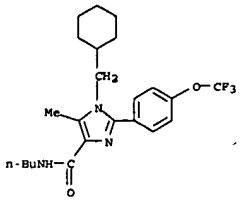
PAGE 1-A



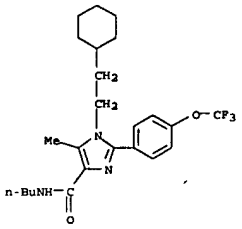
PAGE 2-A

RN 725741-36-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-methyl-2-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

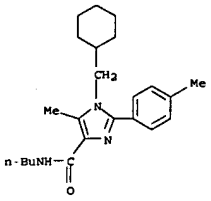


RN 725741-37-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(2-cyclohexylethyl)-5-methyl-2-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

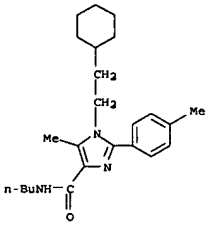


RN 725741-55-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

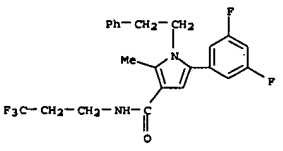
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 725741-56-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(2-cyclohexylethyl)-5-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

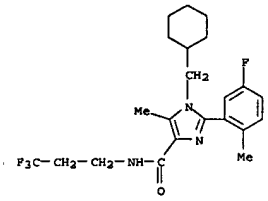


RN 725741-57-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(3,5-difluorophenyl)-2-methyl-1-(2-phenylethyl)-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

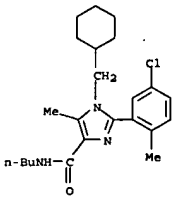


RN 725741-67-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(cyclohexylmethyl)-2-(5-fluoro-2-

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
methylphenyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

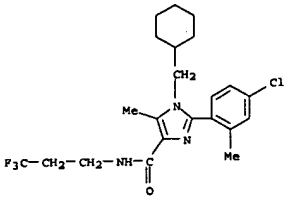


RN 725741-69-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(5-chloro-2-methylphenyl)-1-(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

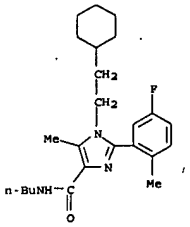


RN 725741-70-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methylphenyl)-1-(cyclohexylmethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

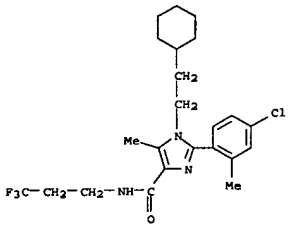


RN 725741-71-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(2-cyclohexylethyl)-2-(5-fluoro-2-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)

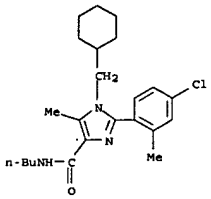


RN 725741-72-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(5-chloro-2-methylphenyl)-1-(2-cyclohexylethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



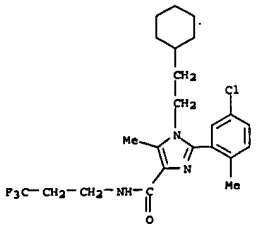
RN 725741-78-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(4-chloro-2-methylphenyl)-1-(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)



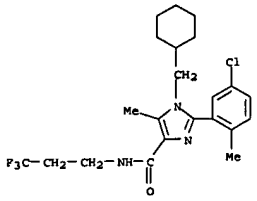
RN 725741-87-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[3,5-bis(trifluoromethyl)phenyl]-1-[(3-fluorophenyl)methyl]-2-methyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

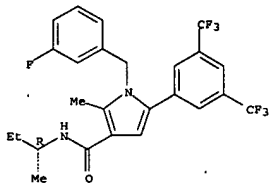


RN 725741-73-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(5-chloro-2-methylphenyl)-1-(cyclohexylmethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

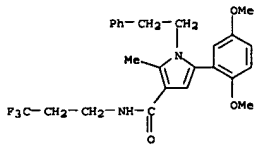


RN 725741-75-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methylphenyl)-1-(2-cyclohexylethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

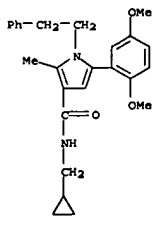
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 725741-92-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(2,5-dimethoxyphenyl)-2-methyl-1-(2-phenylethyl)-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

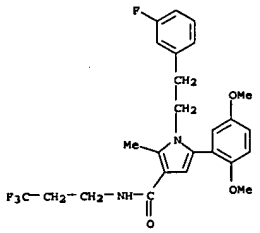


RN 725741-93-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(cyclopropylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

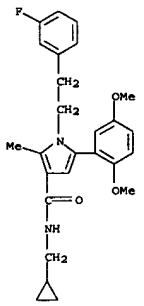


RN 725741-98-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(2,5-dimethoxyphenyl)-1-[2-(3-fluorophenyl)ethyl]-2-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
NAME)

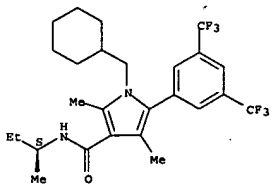


RN 725741-99-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
N-(cyclopropylmethyl)-5-(2,5-dimethoxyphenyl)-1-
[2-(3-fluorophenyl)ethyl]-2-methyl- (9CI) (CA INDEX NAME)



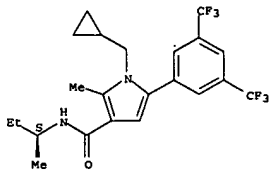
RN 725742-08-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[3,5-bis(trifluoromethyl)phenyl]-1-
(cyclohexylmethyl)-2,4-dimethyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX
NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



RN 725742-10-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[3,5-bis(trifluoromethyl)phenyl]-1-
(cyclopropylmethyl)-2-methyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX
NAME)

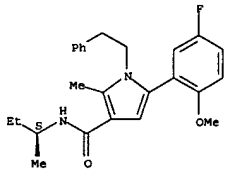
Absolute stereochemistry.



RN 725742-13-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
5-(5-fluoro-2-methoxyphenyl)-2-methyl-N-[(1S)-1-
methylpropyl]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

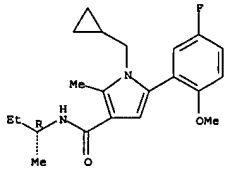
Absolute stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

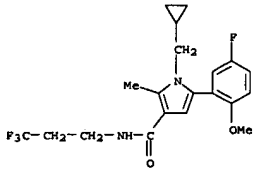


RN 725742-17-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclopropylmethyl)-5-(5-fluoro-2-
methoxyphenyl)-2-methyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



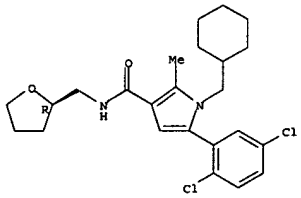
RN 725742-19-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclopropylmethyl)-5-(5-fluoro-2-
methoxyphenyl)-2-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)



RN 725742-34-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dichlorophenyl)-2-
methyl-N-[[(2R)-tetrahydro-2-furanyl]methyl]- (9CI) (CA INDEX NAME)

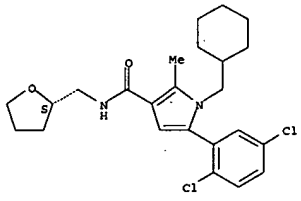
Absolute stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 725742-35-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dichlorophenyl)-2-
methyl-N-[[(2S)-tetrahydro-2-furanyl]methyl]- (9CI) (CA INDEX NAME)

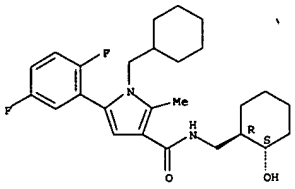
Absolute stereochemistry.



RN 725742-38-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-difluorophenyl)-N-
[[(1R,2S)-2-hydroxycyclohexyl]methyl]-2-methyl-, rel- (9CI) (CA INDEX
NAME)

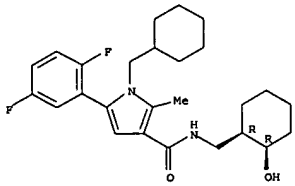
Relative stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



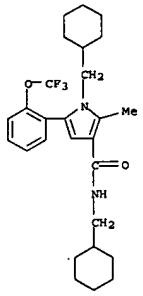
RN 725742-39-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-difluorophenyl)-N-[[(1R,2R)-2-hydroxycyclohexyl]methyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

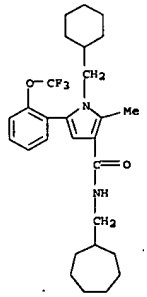


RN 725742-43-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,4-dichloro-5-fluorophenyl)-N-[(1-hydroxycyclohexyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

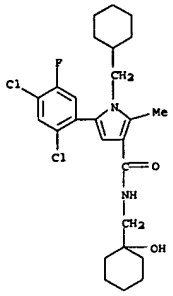


RN 725742-48-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(cycloheptylmethyl)-1-(cyclohexylmethyl)-2-methyl-5-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

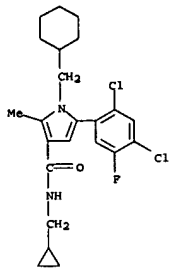


RN 725742-52-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-[2-fluoro-5-(trifluoromethyl)phenyl]-2-methyl-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

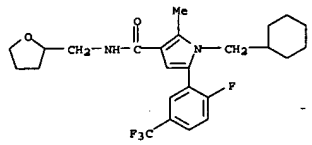


RN 725742-44-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-N-(cyclopropylmethyl)-5-(2,4-dichloro-5-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

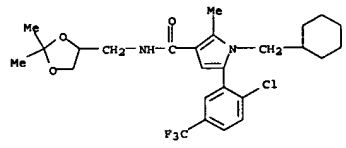


RN 725742-47-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,1-bis(cyclohexylmethyl)-2-methyl-5-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

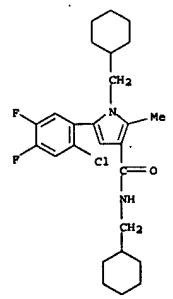
L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



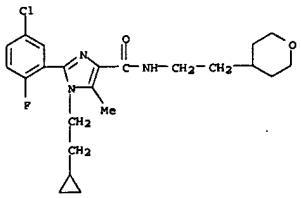
RN 725742-56-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[2-chloro-5-(trifluoromethyl)phenyl]-1-(cyclohexylmethyl)-N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2-methyl- (9CI) (CA INDEX NAME)



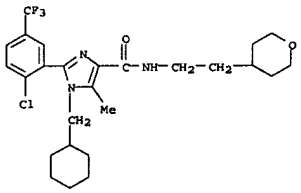
RN 725742-62-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(2-chloro-4,5-difluorophenyl)-N,1-bis(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 725742-89-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(5-chloro-2-fluorophenyl)-1-(2-cyclopropylethyl)-5-methyl-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (9CI) (CA INDEX NAME)



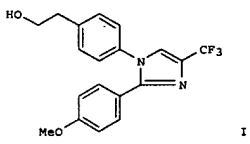
RN 725742-92-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-[2-chloro-5-(trifluoromethyl)phenyl]-1-(cyclohexylmethyl)-5-methyl-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:589415 CAPLUS
DOCUMENT NUMBER: 141:140441
TITLE: Preparation of imidazole and triazole derivatives useful as selective COX-1 inhibitors
INVENTOR(S): Takahashi, Fumie; Nakagawa, Toshiya; Matsushima, Yuji; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004060367 | A1 | 20040722 | WO 2003-JP15921 | 20031212 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003288746 | A1 | 20040729 | AU 2003-288746 | 20031212 |
| PRIORITY APPLN. INFO.: | | | AU 2002-953602 A | 20021230 |
| | | | AU 2003-901804 A | 20030415 |
| | | | AU 2003-903928 A | 20030728 |
| | | | WO 2003-JP15921 W | 20031212 |

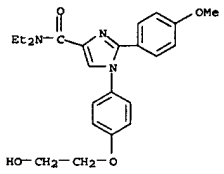
OTHER SOURCE(S): MARPAT 141:140441
GI



I

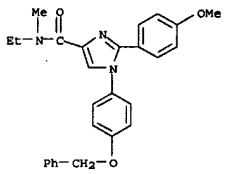
L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Imidazole and triazole derivs. were prepared for use as selective COX-1 inhibitors for treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, thrombosis, cancer or neurodegenerative diseases. Thus, 4-PhCH2OCH2CH2C6H4NH2 was treated with 4-MeOC6H4CN to give 4-PhCH2OCH2CH2C6H4NHC(:NH)C6H4OMe-4 which was cyclized with BrCH2COCF3 and debenzylated to give the imidazole I. I had IC50 for COX-1 inhibition of < 0.01 and an analgesic coefficient relative to controls of > 1.5.
IT 726194-19-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole and triazole derivs. useful as selective COX-1 inhibitors)
RN 726194-19-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,N-diethyl-1-[4-(2-hydroxyethoxy)phenyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

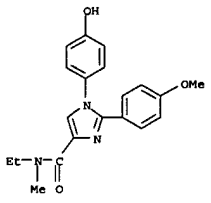


IT 726196-53-8P 726196-54-9P 726196-55-0P 726196-56-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazole and triazole derivs. useful as selective COX-1 inhibitors)
RN 726196-53-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-ethyl-2-(4-methoxyphenyl)-N-methyl-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

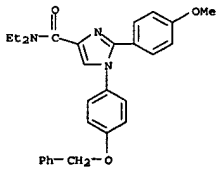
L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 726196-54-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-ethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

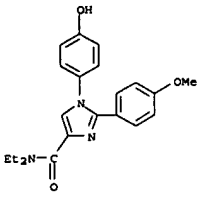


RN 726196-55-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,N-diethyl-2-(4-methoxyphenyl)-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

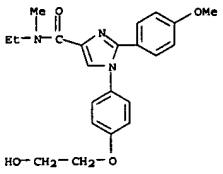


RN 726196-56-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,N-diethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

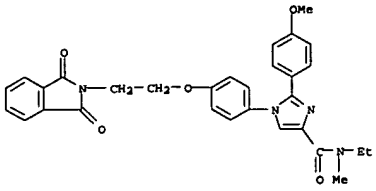


IT 726194-18-9P 726194-27-0P 726194-30-5P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of imidazole and triazole derivs. useful as selective COX-1
inhibitors)
RN 726194-18-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-ethyl-1-[4-(2-hydroxyethoxy)phenyl]-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

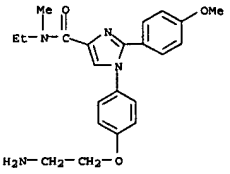


RN 726194-27-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]phenyl]-N-ethyl-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

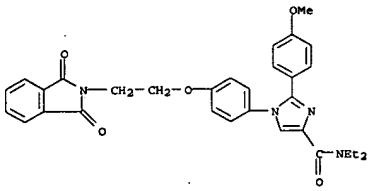


RN 726194-30-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-(2-aminoethoxy)phenyl]-N-ethyl-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

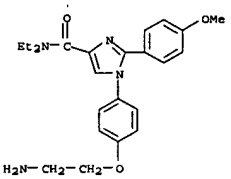


IT 726194-29-2P 726194-34-9P 726194-35-0P
726194-37-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole and triazole derivs. useful as selective COX-1
inhibitors)
RN 726194-29-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]phenyl]-N,N-diethyl-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

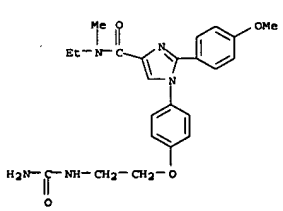
L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 726194-34-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-(2-aminoethoxy)phenyl]-N,N-diethyl-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

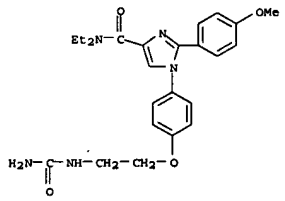


RN 726194-35-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[4-[2-[(aminocarbonyl)amino]ethoxy]phenyl]-N-ethyl-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 726194-37-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-[2-[(aminocarbonyl)amino]ethoxy]phenyl]-

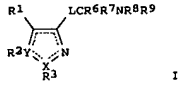
L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
N,N-diethyl-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:515489 CAPLUS
DOCUMENT NUMBER: 141:54345
TITLE: Preparation of pyrazoles and imidazoles as cannabinoid
CB1 receptor antagonists.
INVENTOR(S): Dow, Robert Lee; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004052864 | A1 | 20040624 | WO 2003-IB5835 | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004122074 | A1 | 20040624 | US 2003-702149 | 20031104 |
| CA 2505887 | A1 | 20040624 | CA 2003-2505887 | 20031203 |
| AU 2003286315 | A1 | 20040630 | AU 2003-286315 | 20031203 |
| EP 1572662 | A1 | 20050914 | EP 2003-777058 | 20031203 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003017096 | A | 20051025 | BR 2003-17096 | 20031203 |
| JP 2006514942 | T | 20060518 | JP 2004-558286 | 20031203 |
| PRIORITY APPLN. INFO.: | | | US 2002-432911P | P 20021212 |
| | | | WO 2003-IB5835 | W 20031203 |

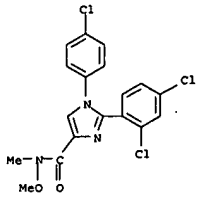
OTHER SOURCE(S): MARPAT 141:54345
GI



I

L6 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
AB Title compds. [I; X = C and Y = N, or X = N and Y = C; R1 = H, alkyl, halo, cyano; R2, R3 = (CH2)nAr; m, n = 0-2; p = 0-3; Ar = (substituted) aryl, heteroaryl; L = CO, CR4OR5; R4 = H, alkyl; R5 = H, alkyl; R5R8, R5R9 = CH2CH2, CH2CO; R6, R7 = H, alkyl; R6R7 = atoms to form a (partially) saturated carbocyclic ring; R8, R9 = H, alkyl, CO(CH2)mR10, SO2(CH2)nR10, (CH2)pR10; R8R9 = atoms to form a 4-8 membered (partially) saturated ring; R10 = (substituted) alkyl, (partially) saturated cycloalkyl, aryl, heteroaryl, heterocyclyl; dotted lines = bonds for form an aromatic ring], were prepared for treatment of obesity, alcoholism, or tobacco abuse (no data). Thus,

2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]ethanone hydrochloride was stirred with NaBH4 in EtOH to give 2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl-1H-pyrazol-3-yl]ethanol.
IT 709036-65-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor antagonists)
RN 709036-65-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

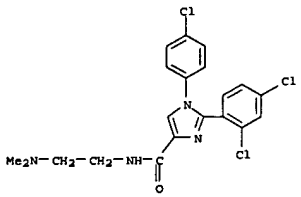


L6 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:153570 CAPLUS
DOCUMENT NUMBER: 140:391240
TITLE: Potent imidazole and triazole CB1 receptor antagonists
related to SR141716
AUTHOR(S): Dyck, Brian; Goodfellow, Val S.; Phillips, Teresa; Grey, Jonathan; Haddach, Mustapha; Rowbottom, Martin; Naeve, Gregory S.; Brown, Brock; Saunders, John
CORPORATE SOURCE: Departments of Medicinal Chemistry, Pharmacology and Molecular Biology, Neurocrine Biosciences Inc., San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1151-1154
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:391240
GI

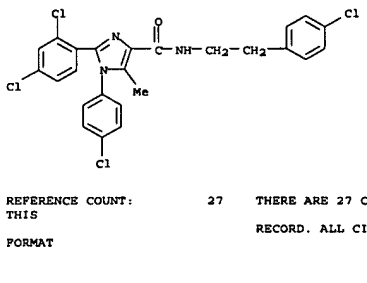
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Diarylimidazolecarboxamides and diaryltriazolecarboxamides related to SR141716 were synthesized and tested for binding to the human CB1 receptor. Suitably substituted imidazoles are comparably potent to the clin. candidate, whereas the analogous triazoles are less so due to the absence of an addnl. substituent on the azole ring. Example compds. thus prepared and evaluated were derivs. of 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl-1H-pyrazole-3-carboxamide (SR 141716) (I), such as 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-(hexahydro-1H-azepin-1-yl)-1H-1,2,4-triazole-3-carboxamide (II) and 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-(hexahydrocyclopenta[c]pyrrol-2(1H)-yl)-5-methyl-1H-imidazole-4-carboxamide (III).
IT 683208-91-5P 683208-95-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of imidazolecarboxamides and triazolecarboxamides related to SR 141716 and study of their activity as cannabinoid CB1 receptor antagonists)
RN 683208-91-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 683208-95-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-N-[2-(4-chlorophenyl)ethyl]-2-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



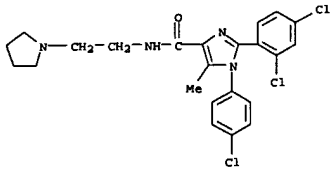
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:610192 CAPLUS
DOCUMENT NUMBER: 139:144003
TITLE: Substituted imidazoles as cannabinoid receptor modulators, their preparation, and their therapeutic use
INVENTOR(S): Hagmann, William K.; Qi, Hongbo; Shah, Shrenik K.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003063781 | A2 | 20030807 | WO 2003-US2351 | 20030124 |
| WO 2003063781 | A3 | 20031211 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004248956 | A1 | 20041209 | US 2004-501060 | 20040709 |
| PRIORITY APPLN. INFO.: | | | US 2002-352743P | P 20020129 |
| | | | WO 2003-US2351 | W 20030124 |

OTHER SOURCE(S): MARPAT 139:144003
AB Compds. of the invention are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the invention are useful as psychotropic drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuroinflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson s disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as, the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver.
IT 572889-96-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(imidazole derivative cannabinoid receptor modulators, preparation, and

L6 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
therapeutic use)
RN 572889-96-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

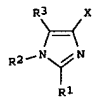


L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:376829 CAPLUS
DOCUMENT NUMBER: 138:385424
TITLE: Imidazole-4-carboxamide derivatives, and their preparation and use for treatment of obesity
INVENTOR(S): Smith, Roger A.; O'Connor, Stephen J.; Wirtz, Stephan-Nicholas; Wong, Wai C.; Choi, Soongyu; Kluender, Harold C. E.; Su, Ning; Wang, Gan; Achebe, Furahi; Ying, Shihong
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003040107 | A1 | 20030515 | WO 2002-US30545 | 20020924 |
| WO 2003040107 | A8 | 20040729 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2459745 | A1 | 20030515 | CA 2002-2459745 | 20020924 |
| US 2004063691 | A1 | 20040401 | US 2002-255049 | 20020924 |
| US 6960601 | B2 | 20051101 | | |
| EP 1432691 | A1 | 20040630 | EP 2002-780365 | 20020924 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002012986 | A | 20040817 | BR 2002-12986 | 20020924 |
| HU 200402376 | A2 | 20050228 | HU 2004-2376 | 20020924 |
| CN 1599724 | A | 20050323 | CN 2002-818693 | 20020924 |
| JP 2005508384 | T | 20050331 | JP 2003-542153 | 20020924 |
| NZ 531841 | A | 20050930 | NZ 2002-531841 | 20020924 |
| CN 1865248 | A | 20061122 | CN 2006-10091513 | 20020924 |
| NO 2004001216 | A | 20040505 | NO 2004-1216 | 20040323 |
| ZA 2004003035 | A | 20050421 | ZA 2004-3035 | 20040421 |
| US 2005256167 | A1 | 20051117 | US 2005-133751 | 20050520 |
| PRIORITY APPLN. INFO.: | | | US 2001-324473P | P 20010924 |
| | | | CN 2002-818693 | A3 20020924 |
| | | | US 2002-255049 | A3 20020924 |
| | | | WO 2002-US30545 | W 20020924 |

OTHER SOURCE(S): MARPAT 138:385424
GI

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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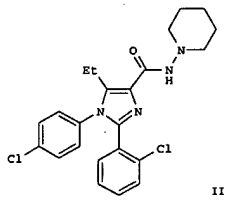
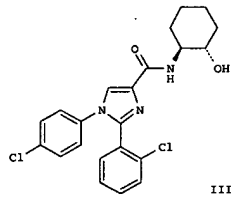


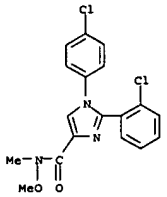
II



III

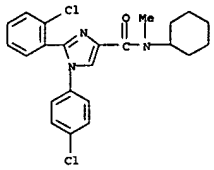
AB The invention relates to imidazole derivs. I, which have been found to suppress appetite and induce weight loss [wherein: R1, R2 = alkyl, (un)substituted Ph, alkyl, naphthyl, benzyl, (un)saturated or aromatic heterocyclyl; R3 = H, alkyl, benzyl, Cl, or Br; X = (a) CONR4R5 or (b) CONHSO2R10; (a) R4 = H or alkyl; R5 = (un)substituted alkyl, bicycloalkyl, benzyl, phenethyl, piperidinyl or pyrrolidinyl, NR6R7, etc.; or NR4R5 = (un)substituted (un)saturated heterocyclyl; R6 = H or alkyl; R7 = alkyl or (un)substituted Ph; or NR6R7 = (un)substituted (un)saturated heterocyclyl; or (b) R10 = (un)substituted alkyl, benzocyclohexyl, or benzocyclopentyl; including pharmaceutical salts and esters]. The invention also provides methods for synthesis of the compds., pharmaceutical compns. comprising them, and methods of using such compns. for inducing weight loss and treating obesity and obesity-related disorders. Such disorders include dyslipidemia, hypertriglyceridemia, hypertension, diabetes, syndrome X, atherosclerotic disease, cardiovascular disease, cerebrovascular disease, peripheral vessel disease, cholesterol gallstones, cancer, menstrual abnormalities, infertility, polycystic ovaries, osteoarthritis, and sleep apnea. I are also claimed for use in regulating appetite, treating bulimia, treating CNS disorders, treating cognition and memory disorders, and treating substance or behavioral addiction. I may also be administered or formed into pharmaceutical compns. in combination with other agents for similar treatments, e.g., antiobesity agents, hypolipidemics, and antihypertensives. Approx. 50 synthetic examples of both invention compds. and intermediates are given, and several tables of compds. I (480 total compds.) are provided. For instance, 2-chloro-N-(4-chlorophenyl)benzenecarboximidamide was cyclized with Et 3-bromo-2-oxopentanoate in the presence of K2CO3 to give an imidazole-4-carboxylate ester, which reacted with 1-aminopiperidine in the presence of AlMe3 to give title compound II. In the fasted-refed acute

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
feeding assay in rats, invention compd. III at 10 mg/kg orally reduced food consumption by 31-53% vs. control.
IT 527369-03-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of imidazolecarboxamide derivs. as antiobesity agents)
RN 527369-03-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

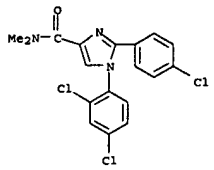


IT 527367-84-6P 527368-19-0P 527368-57-6P
527368-66-7P 527368-71-4P 527370-18-9P
527370-23-6P 527370-28-1P 527370-33-8P
527370-47-4P 527370-52-1P 527370-68-9P
527370-73-6P 527370-77-0P 527370-82-7P
527370-87-2P 527371-19-3P 527371-24-0P
527371-53-5P 527375-14-0P 527375-87-7P
527375-90-2P 527375-94-6P 527375-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazolecarboxamide derivs. as antiobesity agents)
RN 527367-84-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-cyclohexyl-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

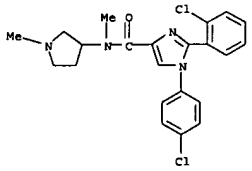


RN 527368-19-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 527368-57-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methyl-N-(1-methyl-3-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

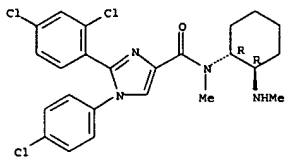
L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 527368-66-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-[(1R,2R)-2-(methylamino)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

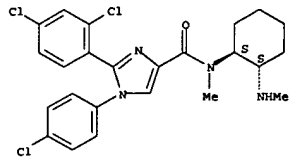


● HCl

RN 527368-71-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-[(1S,2S)-2-(methylamino)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

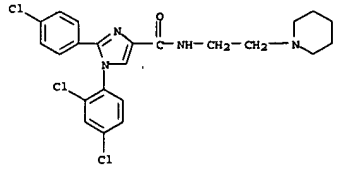
Absolute stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

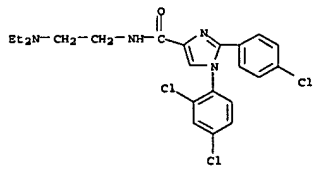


● HCl

RN 527370-18-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

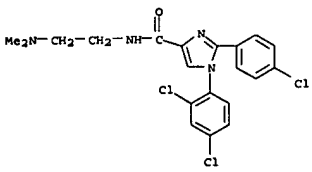


RN 527370-23-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

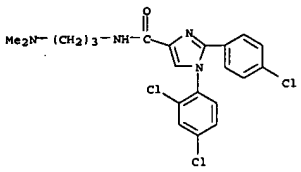


RN 527370-28-1 CAPLUS

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

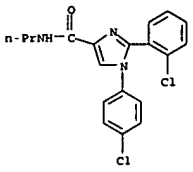


RN 527370-33-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

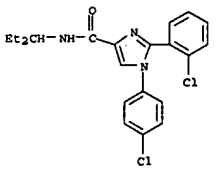


RN 527370-47-4 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

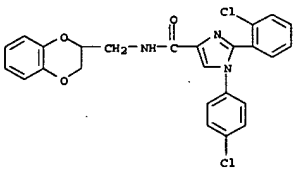
L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 527370-52-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-(1-ethylpropyl)- (9CI) (CA INDEX NAME)

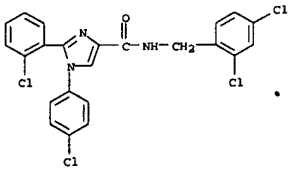


RN 527370-68-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

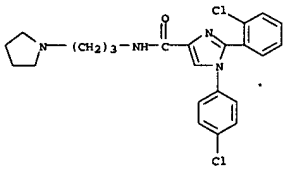


RN 527370-73-6 CAPLUS

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



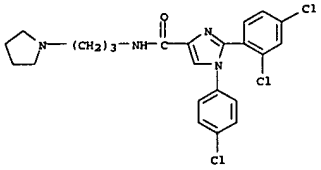
RN 527370-77-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



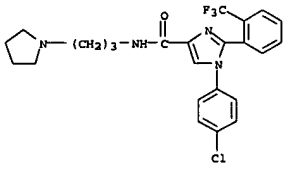
● HCl

RN 527370-82-7 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[3-(1-pyrrolidinyl]propyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

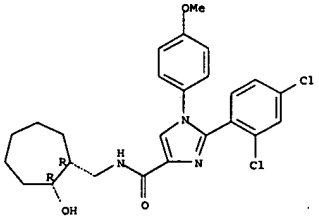


RN 527370-87-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 527371-19-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[[(1R,2R)-2-hydroxycycloheptyl]methyl]-1-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

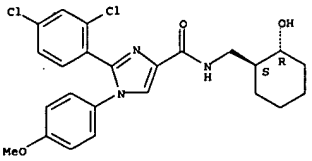
Relative stereochemistry.



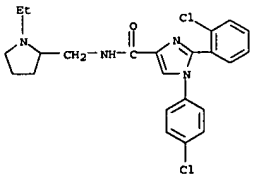
L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527371-24-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[[(1R,2S)-2-hydroxycyclohexyl]methyl]-1-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 527371-53-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

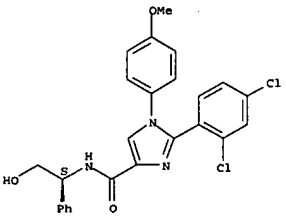


● HCl

RN 527375-14-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4-dimethoxyphenyl)methyl]-N-[(2R,3R)-1,2,3,4-tetrahydro-3-hydroxy-2-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

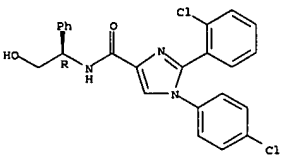
Relative stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



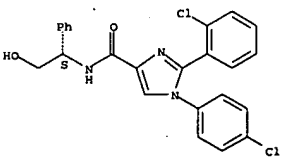
RN 527375-94-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1R)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527375-99-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1S)-2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

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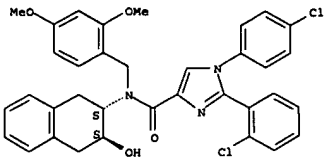
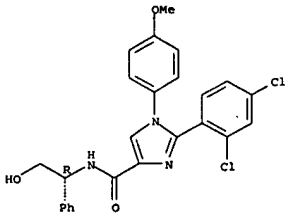
L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 527375-87-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1R)-2-hydroxy-1-phenylethyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 527375-90-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1S)-2-hydroxy-1-phenylethyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:282325 CAPLUS
DOCUMENT NUMBER: 138:321285
TITLE: Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003028641 | A2 | 20030410 | WO 2002-US31059 | 20020930 |
| WO 2003028641 | A3 | 20030828 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2460594 | A1 | 20030410 | CA 2002-2460594 | 20020930 |
| EP 1432693 | A2 | 20040630 | EP 2002-800388 | 20020930 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1582281 | A | 20050216 | CN 2002-823940 | 20020930 |
| JP 2005523237 | T | 20050804 | JP 2003-531977 | 20020930 |
| PRIORITY APPLN. INFO.: | | | US 2001-326463P | P 20011001 |
| | | | US 2001-326758P | P 20011002 |
| | | | WO 2002-US31059 | W 20020930 |

OTHER SOURCE(S): MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

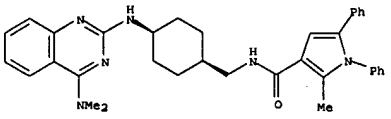
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders,

L6 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.

IT 510743-47-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510743-47-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

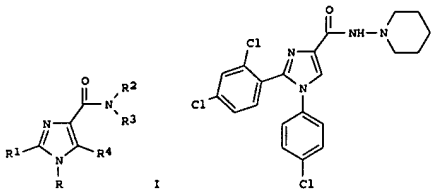



L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:261815 CAPLUS
DOCUMENT NUMBER: 138:287674
TITLE: Preparation of 1H-imidazole-4-carboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurological disorders
INVENTOR(S): Kruse, Cornelis G.; Lange, Josephus H. M.; Herremans, Arnoldus H. J.; Van Stuivenberg, Herman H.
PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003027076 | A2 | 20030403 | WO 2002-EP10434 | 20020917 |
| WO 2003027076 | A3 | 20031120 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| TW 231757 | B | 20050501 | TW 2002-91119798 | 20020830 |
| CA 2457444 | A1 | 20030403 | CA 2002-2457444 | 20020917 |
| EP 1438296 | A2 | 20040721 | EP 2002-772314 | 20020917 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002012481 | A | 20040824 | BR 2002-12481 | 20020917 |
| CN 1556703 | A | 20041222 | CN 2002-818346 | 20020917 |
| JP 2005504805 | T | 20050217 | JP 2003-530667 | 20020917 |
| HU 200402150 | A2 | 20050228 | HU 2004-2150 | 20020917 |
| IN 2004CN00574 | A | 20060113 | IN 2004-CN574 | 20040317 |
| ZA 2004002188 | A | 20050429 | ZA 2004-2188 | 20040318 |
| NO 2004001171 | A | 20040621 | NO 2004-1171 | 20040319 |
| US 2004235854 | A1 | 20041125 | US 2004-490019 | 20040319 |
| US 2005054679 | A1 | 20050310 | US 2004-912171 | 20040806 |
| US 7109216 | B2 | 20060919 | | |
| PRIORITY APPLN. INFO.: | | | EP 2001-203851 | A 20010921 |
| | | | WO 2002-EP10434 | W 20020917 |
| | | | US 2004-490019 | A2 20040319 |
| | | | US 2004-574939P | P 20040528 |

OTHER SOURCE(S): MARPAT 138:287674
GI

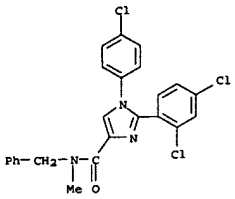
L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)




AB Title compds. I [wherein R = (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, or triazinyl; R1 = (un)substituted Ph
or pyridinyl; R2 = H or (cyclo)alkyl or (cyclo)alkenyl optionally interrupted by S, O, or N; R3 = (un)substituted (cyclo)alkyl, (cyclo)alkoxy, bicycloalkyl, tricycloalkyl, or (cyclo)alkenyl optionally interrupted by N, O, or S; or R3 = pyridinyl or Ph when R4 = H; or R3 = NR5R6 when R2 = H or Me; or NR2R3 = (un)substituted heterocyclyl; R4 = H, halo, CN, carbamoyl, formyl, acetyl, CF3CO, FCH2CO, EtCO, sulfamoyl, MeSO2, MeS, or (un)substituted alkyl; R5 and R6 = independently alkyl; or NR5R6 = (un)substituted heterocyclyl; and prodrugs, stereoisomers, and salts thereof] were prepared as potent cannabinoid (CB1) receptor agonists,
partial agonists, or antagonists (no data). For example, reaction of 4-chloroaniline with 2,4-dichlorobenzonitrile in the presence of sodium bis(trimethylsilyl)amide in THF provided N-(4-chlorophenyl)-2,4-dichlorobenzenecarboximidine (42%). Cyclization of the carboximidine with
Et 3-bromo-2-oxopropanoate in a solution of NaHCO3 and isopropanol gave the
imidazolecarboxylate (29%), which was converted to the imidazolecarbonyl chloride (no data). Amidation with 1-aminopiperidine using TEA in CH2Cl2 afforded II (26%). I are useful for the treatment of psychiatric and neurol. disorders, as well as and other diseases involving cannabinoid neurotransmission (no data).

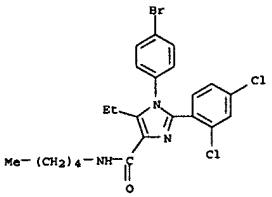
IT 505073-32-5P, N-(Benzyl)-1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-1H-imidazole-4-carboxamide 505073-48-3P, 1-(4-Bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl-1H-imidazole-4-carboxamide 505073-56-3P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(4-fluorobenzyl)-1H-imidazole-4-carboxamide 505073-63-2P, 1-(4-Chlorophenyl)-2-(2-methoxy-4-chlorophenyl)-N-pentyl-1H-imidazole-4-carboxamide 505073-66-5P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl-1H-imidazole-4-carboxamide 505073-71-2P, 1-(4-Chlorophenyl)-N-(2,2,2-trifluoroethyl)-2-(2-trifluoromethyl-4-chlorophenyl)-1H-imidazole-4-carboxamide 505073-89-2P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N,N,5-trimethyl-1H-imidazole-4-carboxamide 505074-05-5P, 1-(4-Chlorophenyl)-2-(2-methoxy-4-chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 1-(4-Chlorophenyl)-2-(2-fluoro-4-chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-18-0P, 2-(2-Chlorophenyl)-1-(3-fluorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
505074-21-5P, 2-(2-Chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl-1H-imidazole-4-carboxamide
505074-32-8P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-fluoroethyl)-5-methyl-1H-imidazole-4-carboxamide 505074-36-2P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(4-fluorobenzyl)-5-methyl-1H-imidazole-4-carboxamide 505074-50-0P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[3-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide 505074-51-1P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[4-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CB1 modulator; prepn. of imidazolecarboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurol. disorders)
RN 505073-32-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

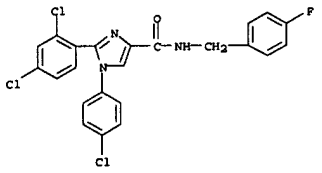


RN 505073-48-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

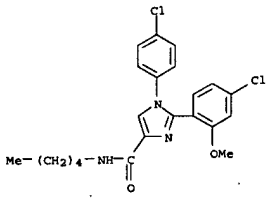


RN 505073-56-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

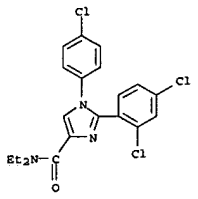


RN 505073-63-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-N-pentyl- (9CI) (CA INDEX NAME)

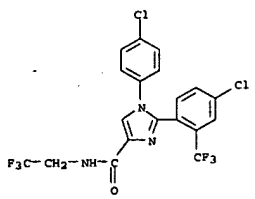
L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 505073-66-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

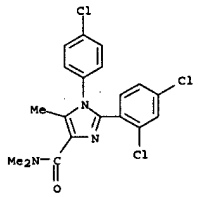


RN 505073-71-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-[4-chloro-2-(trifluoromethyl)phenyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

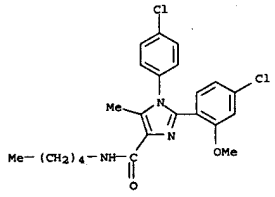


L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 505073-89-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

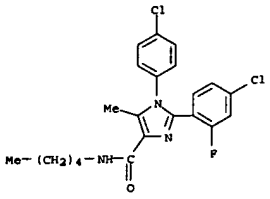


RN 505074-05-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

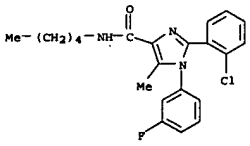


RN 505074-13-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-fluorophenyl)-1-(4-chlorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

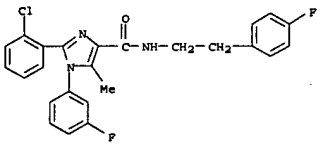
L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



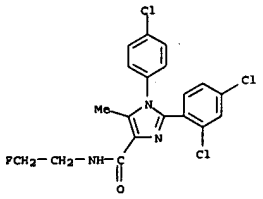
RN 505074-18-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(3-fluorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)



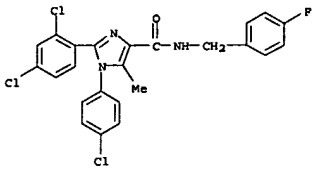
RN 505074-21-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 505074-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-fluoroethyl)-5-methyl- (9CI) (CA INDEX NAME)

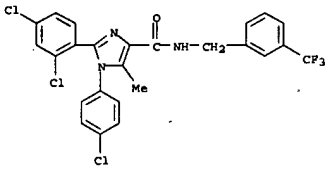


RN 505074-36-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]-5-methyl- (9CI) (CA INDEX NAME)

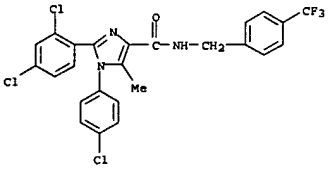


RN 505074-50-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 505074-51-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

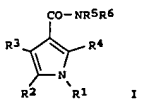


L6 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:261810 CAPLUS
DOCUMENT NUMBER: 138:287520
TITLE: Preparation and use of pyrrolecarboxamides and pyrrolecarbohydrazides for treating obesity
INVENTOR(S): Smith, Roger A.; Kluender, Harold C. E.; Su, Ning; Lavoie, Rico C.; Fan, Jianmei
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003027069 | A1 | 20030403 | WO 2002-US30543 | 20020924 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2461144 | A1 | 20030403 | CA 2002-2461144 | 20020924 |
| EP 1432679 | A1 | 20040630 | EP 2002-799637 | 20020924 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005532982 | T | 20051104 | JP 2003-530660 | 20020924 |
| US 2004267028 | A1 | 20041230 | US 2004-489031 | 20040305 |
| PRIORITY APPLN. INFO.: | | | US 2001-324441P | P 20010924 |
| | | | WO 2002-US30543 | W 20020924 |

OTHER SOURCE(S): MARPAT 138:287520
GI



AB This invention relates to pyrrolecarboxamides and pyrrolecarbohydrazides (shown as I; variables defined below; e.g. 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-(1-piperidinyl)-1H-pyrrole-3-carboxamide hydrochloride) that suppress appetite and induce weight loss. The invention also provides methods for synthesis of the compds., pharmaceutical compns.

L6 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

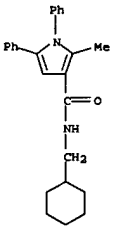
comprising the compds., and methods of using such compns. for inducing wt.

loss and treating obesity and obesity-related disorders. Although the methods of prepn. are not claimed, 6 example prepns. of I and/or intermediates and characterization data for .apprx.50 examples of I are included. Seven pharmaceutical formulations are listed. Compds. of this invention are active in a fasted-refed acute feeding assay. For example, when 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-(1-piperidinyl)-1H-pyrrole-3-carboxamide hydrochloride was dosed at 10 mg/kg p.o., food consumption was reduced (relative to the food consumption obsd. for the vehicle control group) by up to 25% when measured at time points = 30-240 min. Likewise, when 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2,4-dimethyl-N'-[4-(trifluoromethyl)phenyl]-1H-pyrrole-3-carbohydrazide hydrochloride was dosed at 10 mg/kg p.o., food consumption was reduced by up to 35%. For I: R1 and R2 = Ph optionally substituted with 21 halogen, (C1-C6)alkyl, (C1-C6)alkoxy, trifluoromethyl, hydroxy, cyano, or nitro; R3 = H; R4 = CH3; R5 = H or (C1-C6)alkyl; R6 = substituted cyclohexyl; (un)substituted (C1-C5)alkyl; cyclopentyl, cycloheptyl or cyclo(C3-C7)alkyl-(C1-C3)alkyl, each of which may be optionally substituted; substituted benzyl; substituted phenyl; piperidin-4-yl, piperidin-3-yl, or pyrrolidin-3-yl, each of which may be optionally substituted on the N atom of the piperidine or pyrrolidine ring; -NR7R8. Or R5 and R6, taken together with the N atom to which they are attached, form a 5- to 10-membered satd. heterocyclic radical contg. at least one addnl. N atom, with optional substitution. Or R5 and R6, taken together with the N atom to which they are attached, form a 1-piperidinyl, 1-pyrrolidinyl, or 1-morpholino group, which is substituted; addnl. details are given in the claims.

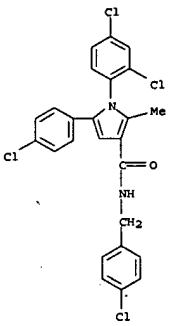
IT 504405-39-4P, N-(Cyclohexylmethyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide 504405-67-8P, N-[(4-Chlorophenyl)methyl]-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-methyl-1H-pyrrole-3-carboxamide 504405-68-9P, N-[(4-Fluorophenyl)methyl]-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-methyl-1H-pyrrole-3-carboxamide 504405-69-0P, N-[(4-(Trifluoromethyl)phenyl)methyl]-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-methyl-1H-pyrrole-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation and use of pyrrolecarboxamides for treating obesity-related disorders)

RN 504405-39-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(cyclohexylmethyl)-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

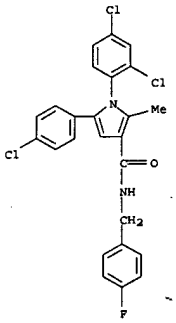


RN 504405-67-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-[(4-chlorophenyl)methyl]-1-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

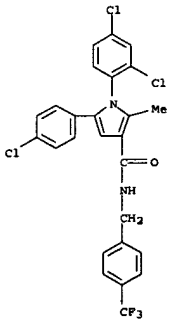


RN 504405-68-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 504405-69-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

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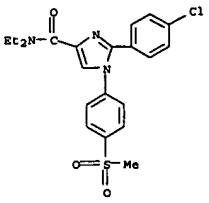
L6 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:713319 CAPLUS
DOCUMENT NUMBER: 137:362535
TITLE: Computer-Aided Design of Selective COX-2 Inhibitors: Comparative Molecular Field Analysis, Comparative Molecular Similarity Indices Analysis, and Docking Studies of Some 1,2-Diarylimidazole Derivatives
AUTHOR(S): Desiraju, G. R.; Gopalakrishnan, B.; Jetti, R. K. R.; Nagaraju, A.; Raveendra, D.; Sarma, J. A. R. P.; Sobhia, M. E.; Thilagavathi, R.
CORPORATE SOURCE: School of Chemistry, University of Hyderabad, Hyderabad, 500 046, India
SOURCE: Journal of Medicinal Chemistry (2002), 45(22), 4847-4857
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Comparative mol. field anal. and comparative mol. similarity indexes anal. were performed on 114 analogs of 1,2-diarylimidazole to optimize their cyclooxygenase-2 (COX-2) selective antiinflammatory activities. These studies produced models with high correlation coeffs. and good predictive abilities. Docking studies were also carried out wherein these analogs were docked into the active sites of both COX-1 and COX-2 to analyze the receptor ligand interactions that confer selectivity for COX-2. The most active mol. in the series adopts an orientation similar to that of SC-558 (4-[5-(4-bromophenyl)-3-trifluoromethyl-1H-1-pyrazolyl]-1-benzenesulfonamide) inside the COX-2 active site while the least active mol. optimizes in a different orientation. In the active site, there are some strong hydrogen-bonding interactions observed between residues His90, Arg513, and Phe518 and the ligands. Addnl., a correlation of the quant. structure-activity relation data and the docking results is found to validate each other and suggests the importance of the binding step in overall drug action.
IT 189628-32-8
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR CoMFA, CoMSIA anal. and docking studies of arylimidazole derivs. as COX-2 inhibitors)
RN 189628-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N,N-diethyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



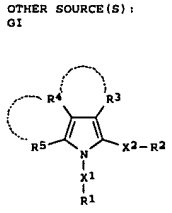
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:868414 CAPLUS
DOCUMENT NUMBER: 136:20006
TITLE: Preparation of pyrrole derivatives as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes
INVENTOR(S): Matsumoto, Takahiro; Katayama, Nozomi; Mabuchi, Hiroshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001090067 | A1 | 20011129 | WO 2001-JP4201 | 20010521 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2410338 | A1 | 20011129 | CA 2001-2410338 | 20010521 |
| AU 2001058784 | A5 | 20011203 | AU 2001-58784 | 20010521 |
| JP 2002121186 | A | 20020423 | JP 2001-150910 | 20010521 |
| EP 1284260 | A1 | 20030219 | EP 2001-932153 | 20010521 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003144338 | A1 | 20030731 | US 2002-276674 | 20021115 |
| US 6911468 | B2 | 20050628 | | |

PRIORITY APPLN. INFO.: JP 2000-154441 A 20000522
JP 2000-247954 A 20000810
WO 2001-JP4201 W 20010521

OTHER SOURCE(S): MARPAT 136:20006
GI



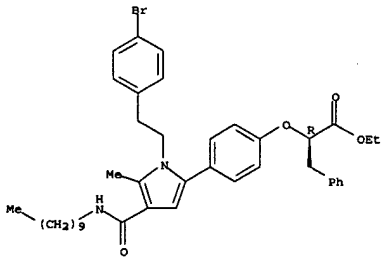
AB Compds. of the general formula (I) or salts thereof [wherein X1 and X2 are



L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
each a free valency or a spacer having a C1-20 main chain; one of R1 and R2 is a cyclic group which bears a substituent selected from among (1) carboxylated C1-6 alkoxy groups which may be substituted and (2) carboxylated C1-6 aliph. hydrocarbon groups which may be substituted and may further have other substituent, and the other is an optionally substituted cyclic group or hydrogen; and R3, R4 and R5 are each hydrogen or a substituent, or alternatively R4 together with R3 or R5 may form an optionally substituted ring, with the proviso that some compds. of the general formula I are excluded.] are prepd. These compds. are useful as preventive and therapeutic drugs for diabetes, impaired glucose tolerance (IGT), tumors, autoimmune diseases, immunodeficiency, allergies, bone diseases, infections, joint diseases, hyperlipidemia, diabetes complications, obesity, cachexia, fatty liver, hypertension, liver diseases, polycystic ovary syndromes, muscular dystrophy, myocardial infarction, angina pectoris, cerebral infarction, syndrome X, high-blood insulin, inflammation, and arteriosclerosis or as improvers for insulin resistance or enhancers for insulin sensitivity or blood platelet aggregation inhibitors. Thus, cyclocondensation of 4-octylphenylamine with 1-(4-benzyloxyphenyl)-1,4-pentanedione in the presence of p-MeC6H4SO3H.H2O in PhMe under reflux for 12 h and hydrogenation of the resulting 1-(4-pentylphenyl)-2-methyl-5-(4-benzyloxyphenyl)-1H-pyrrole over 10% Pd-C in ethanol under hydrogen atm. gave 4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenol which underwent Mitsunobu reaction with (S)-2-hydroxy-3-phenylpropanoic acid Et ester using 1,1'-(azocarbonyl)dipiperidine and Ph3P in PhMe at 80° for 12 h to give (2R)-2-{[4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenyl]oxy}-3-phenylpropanoic acid Et ester. The latter ester was converted into (2R)-2-{[4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenyl]oxy}-3-phenylpropanoic acid sodium salt (II). II showed IC50 of 0.09 μM against human protein tyrosine phosphatase-1B. Tablet formulations contg. specific I, e.g. (2R)-2-{4-[1-[2-(4-bromophenyl)ethan-1-yl]-5-methyl-1H-pyrrol-2-yl]phenoxy}-3-phenylpropanoic acid, were described.
IT 376635-65-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrole derivs. as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes)
RN 376635-65-3 CAPLUS
CN Benzenepropanoic acid, α-[4-[1-[2-(4-bromophenyl)ethyl]-4-[(decylamino)carbonyl]-5-methyl-1H-pyrrol-2-yl]phenoxy]-, ethyl ester, (αR)- (9CI) (CA INDEX NAME)

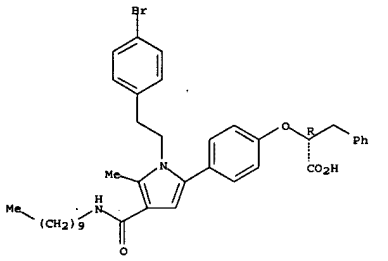
Absolute stereochemistry.

L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

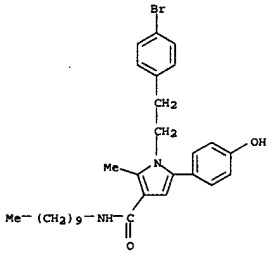


IT 376635-66-4P, (2R)-2-[4-[1-(4-Bromophenethyl)-4-(decylaminocarbonyl)-5-methyl-1H-pyrrol-2-yl]phenoxy]-3-phenylpropanoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrole derivs. as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes)
RN 376635-66-4 CAPLUS
CN Benzenepropanoic acid, α-[4-[1-[2-(4-bromophenyl)ethyl]-4-[(decylamino)carbonyl]-5-methyl-1H-pyrrol-2-yl]phenoxy]-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

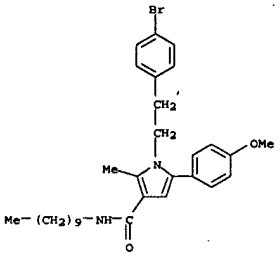


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

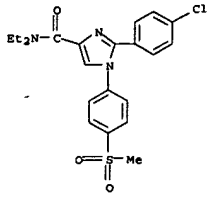
IT 376640-89-0P, N-Decyl-1-(4-bromophenethyl)-5-(4-methoxyphenyl)-2-methyl-1H-pyrrole-3-carboxamide 376640-91-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrole derivs. as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes)
RN 376640-89-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-[2-(4-bromophenyl)ethyl]-N-decyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 376640-91-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-[2-(4-bromophenyl)ethyl]-N-decyl-5-(4-hydroxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:699248 CAPLUS
DOCUMENT NUMBER: 136:2171
TITLE: QSAR and k-Nearest Neighbor Classification Analysis of Selective Cyclooxygenase-2 Inhibitors Using Topologically-Based Numerical Descriptors
AUTHOR(S): Kauffman, Gregory W.; Jurs, Peter C.
CORPORATE SOURCE: Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2001), 41(6), 1553-1560
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Exptl. IC50 data for 314 selective cyclooxygenase-2 (COX-2) inhibitors are used to develop quantitation and classification models as a potential screening mechanism for larger libraries of target compds. Exptl. log(IC50) values ranged from 0.23 to ≥ 5.00. Numerical descriptors encoding solely topol. information are calculated for all structures and are used as inputs for linear regression, computational neural network, and classification anal. routines. Evolutionary optimization algorithms are then used to search the descriptor space for information-rich subsets which minimize the rms error of a diverse training set of compds. An eight-descriptor model was identified as a robust predictor of exptl. log(IC50) values, producing a root-mean-square error of 0.625 log units for an external prediction set of inhibitors which took no part in model development. A k-nearest neighbor classification study of the data set discriminating between active and inactive members produced a nine-descriptor model able to accurately classify 83.3% of the prediction set compds. correctly.
IT 189628-32-8
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase-2 inhibitor; QSAR and k-nearest neighbor classification anal. of selective cyclooxygenase-2 inhibitors using topol.-based numerical descriptors)
RN 189628-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N,N-diethyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 30 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

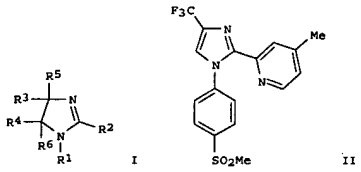
L6 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:513627 CAPLUS
DOCUMENT NUMBER: 127:190737
TITLE: Heterocyclo-substituted imidazoles for the treatment of inflammation
INVENTOR(S): Khanna, Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Ui; Xu, Xiangdong; Partis, Richard A.; Koszyk, Francis J.; Huff, Renee M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Khanna, Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Ui; Xu, Xiangdong; Partis, Richard A.; Koszyk, Francis J.; Huff, Renee M.
SOURCE: PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9727181 | A1 | 19970731 | WO 1997-US300 | 19970124 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2244837 | A1 | 19970731 | CA 1997-2244837 | 19970124 |
| AU 9715739 | A | 19970820 | AU 1997-15739 | 19970124 |
| AU 730642 | B2 | 20010308 | | |
| EP 880504 | A1 | 19981202 | EP 1997-901952 | 19970124 |
| EP 880504 | B1 | 20030402 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000503987 | T | 20000404 | JP 1997-526876 | 19970124 |
| EP 1193265 | A2 | 20020403 | EP 2001-123289 | 19970124 |
| EP 1193265 | A3 | 20020410 | | |
| EP 1193265 | B1 | 20061129 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| AT 236130 | T | 20030415 | AT 1997-901952 | 19970124 |
| PT 880504 | T | 20030829 | PT 1997-901952 | 19970124 |
| ES 2197983 | T3 | 20040116 | ES 1997-901952 | 19970124 |
| AT 346849 | T | 20061215 | AT 2001-123289 | 19970124 |
| ZA 9700670 | A | 19980416 | ZA 1997-670 | 19970127 |
| AU 767993 | B2 | 20031127 | AU 2001-11100 | 20010109 |
| US 2003036557 | A1 | 20030220 | US 2001-4944 | 20011205 |
| US 6613789 | B2 | 20030902 | | |
| US 2005096368 | A1 | 20050505 | US 2003-653399 | 20030902 |
| US 2005256120 | A1 | 20051117 | US 2005-183016 | 20050715 |
| PRIORITY APPLN. INFO.: | | | US 1996-592167 | A1 19960126 |
| | | | US 1994-282395 | B2 19940728 |

L6 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

| | | |
|---|---|---|
| | US 1995-464154 | A2 19950605 |
| | WO 1995-US9506 | W 19950727 |
| | AU 1997-15739 | A3 19970124 |
| | EP 1997-901952 | A3 19970124 |
| | WO 1997-US300 | W 19970124 |
| | US 1999-101493 | B1 19990602 |
| | US 2001-4944 | A1 20011205 |
| | US 2003-653399 | A1 20030902 |

OTHER SOURCE(S): MARPAT 127:190737
GI

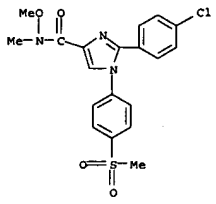


I II

AB A class of imidazole derivs., for use in treating inflammation, is described. Compds. of particular interest are defined by formula I [R1, R2 = (un)substituted aryl, cycloalkyl, cycloalkenyl, or heterocyclo; R3 = H, (un)substituted alkyl, acyl, cyano, alkoxy, alkylthio, alkylsulfonyl, cycloalkyloxy, arylsulfonyl, halo, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, carboxyl, aminocarbonyl, aryloxy, aryl, heterocyclo, etc.;
R4 = H, alkyl, halo; R5 = OH, alkoxy; R6 = H; or R5R6 = pi bond; provided that at least one of R1 and R2 is aryl substituted with alkylsulfonyl, haloalkylsulfonyl, or aminosulfonyl], as well as their pharmaceutically acceptable salts. For instance, addition reaction of 2-cyano-4-methylpyridine with 4-(methylsulfonyl)aniline gave the corresponding amidine, which underwent cyclization with BrCH2COCF3, followed by acid-catalyzed dehydration of the formed 4-hydroxy-4,5-dihydroimidazole derivative, to give title compound II. In assays for inhibition of human cyclooxygenase (COX) in vitro, II had ID50 values of 0.5 and >100 μM for COX-2 and COX-1, resp.
IT 177662-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclo-substituted imidazoles as antiinflammatories)

SAEED

L6 ANSWER 31 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 177662-75-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N-methoxy-N-methyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

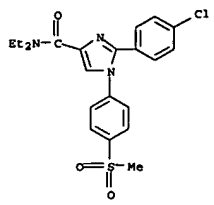
ACCESSION NUMBER: 1997:309987 CAPLUS
DOCUMENT NUMBER: 126:324935
TITLE: 1,2-Diarylimidazoles as potent, cyclooxygenase-2 selective and orally active antiinflammatory agents
AUTHOR(S): Khanna, Ish K.; Weier, Richard M.; Yu, Yi; Xu, Xiang D.; Koszyk, Francis J.; Collins, Paul W.; Koboldt, Carol M.; Veenhuizen, Amy W.; Perkins, William E.; Casler, Jacquelen J.; Masferrer, Jaime L.; Zhang, Yan. Y.; Gregory, Susan A.; Seibert, Karen; Isakson, Peter C.
CORPORATE SOURCE: Discovery Medicinal Chemistry and Inflammatory Disease Research, Searle Research and Development, Skokie, IL, 60077, USA
SOURCE: Journal of Medicinal Chemistry (1997), 40(11), 1634-1647
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Series of 1,2-diarylimidazoles has been synthesized and found to contain highly potent and selective inhibitors of the human COX-2 enzyme. The paper describes a short synthesis of the target 1,2-diarylimidazoles starting with aryl nitriles. Different portions of the diarylimidazole were modified to establish SAR. Systematic variations of the substituents in the aryl ring has yielded very potent (IC50 = 10-100 nm) and selective (1000-12500) inhibitors of the COX-2 enzyme. The study on the influence of substituents in the imidazole ring established that a CF3 group at position 4 gives the optimum oral activity. A number of the diarylimidazoles showed excellent inhibition in the adjuvant induced arthritis model (e.g., ED50 = 0.02 mpk for 22 and 34). The diarylimidazoles are also potent inhibitors of carrageenan-induced edema (ED50 = 9-30 mpk) and hyperalgesia (ED50 = 11-40 mpk). Several orally active diarylimidazoles show no GI toxicity in the rat and mouse up to 200 mpk.

IT 189628-32-8P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and structure of 1,2-diarylimidazoles as cyclooxygenase selective and orally active antiinflammatory agents)

RN 189628-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N,N-diethyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 32 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

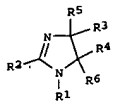


L6 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:231464 CAPLUS
DOCUMENT NUMBER: 126:317382
TITLE: Preparation of 1,2-diarylimidazoles as cyclooxygenase-2 inhibitors
INVENTOR(S): Khanna, Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Yi; Xu, Xiangdong; Partis, Richard A.; Koszyk, Francis J.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 282,395, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5616601 | A | 19970401 | US 1995-464154 | 19950605 |
| CA 2195845 | A1 | 19960208 | CA 1995-2195845 | 19950727 |
| WO 9603388 | A1 | 19960208 | WO 1995-US9506 | 19950727 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT | | | | |
| RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9532025 | A | 19960222 | AU 1995-32025 | 19950727 |
| EP 772600 | A1 | 19970514 | EP 1995-928164 | 19950727 |
| EP 772600 | B1 | 20020918 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 10503211 | T | 19980324 | JP 1995-505972 | 19950727 |
| AT 224374 | T | 20021015 | AT 1995-928164 | 19950727 |
| PT 772600 | T | 20030131 | PT 1995-928164 | 19950727 |
| ES 2183883 | T3 | 20030401 | ES 1995-928164 | 19950727 |
| AU 767993 | B2 | 20031127 | AU 2001-11100 | 20010109 |
| US 2003036557 | A1 | 20030220 | US 2001-4944 | 20011205 |
| US 6613789 | B2 | 20030902 | | |
| US 2005096368 | A1 | 20050505 | US 2003-653399 | 20030902 |
| US 2005256120 | A1 | 20051117 | US 2005-183016 | 20050715 |
| PRIORITY APPLN. INFO.: | | | US 1994-282395 | B2 19940728 |
| | | | US 1995-464154 | A 19950605 |
| | | | WO 1995-US9506 | W 19950727 |
| | | | AU 1997-15739 | A3 19970124 |
| | | | WO 1997-US300 | W 19970124 |
| | | | US 1999-101493 | B1 19990602 |
| | | | US 2001-4944 | A1 20011205 |
| | | | US 2003-653399 | A1 20030902 |

OTHER SOURCE(S): MARPAT 126:317382
GI



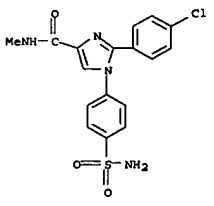
L6 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Title compds. [I; 1 of R1,R2 = amino- or alkylsulfonyl-substituted (hetero)aryl and the other = (un)substituted (hetero)aryl; R3 = H, acyl, alkyl, (hetero)aryl, etc.; R4 = H, halo, alkyl; R5 = OH or alkoxy; R6 = H; R5R6 = bond] were prepared Thus, 4-ClC6H4CN was aminated by 4-(MeO2S)C6H4NH2 and the product cyclocondensed with CF3COCH2Br to give, after dehydration, I [R1 = C6H4(SO2Me)-4, R2 = C6H4Cl-4, R3 = CF3, R4 = H, R5R6 = bond]. Data for biol. activity of I were given.

IT 189295-82-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2-diarylimidazoles as cyclooxygenase-2 inhibitors)

RN 189295-82-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-(aminosulfonyl)phenyl]-2-(4-chlorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

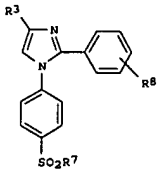


L6 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:363276 CAPLUS
DOCUMENT NUMBER: 125:33646
TITLE: 1,2-Substituted imidazolyl compounds for the treatment of inflammation
INVENTOR(S): Khanna, Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Yi; Xu, Xiangdong; Huff, Renee M.; Partis, Richard A.; Koszyk, Francis J.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9603388 | A1 | 19960208 | WO 1995-US9506 | 19950727 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT | | | | |
| RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5616601 | A | 19970401 | US 1995-464154 | 19950605 |
| AU 9532025 | A | 19960222 | AU 1995-32025 | 19950727 |
| EP 772600 | A1 | 19970514 | EP 1995-928164 | 19950727 |
| EP 772600 | B1 | 20020918 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 10503211 | T | 19980324 | JP 1995-505972 | 19950727 |
| AT 224374 | T | 20021015 | AT 1995-928164 | 19950727 |
| AU 767993 | B2 | 20031127 | AU 2001-11100 | 20010109 |
| US 2003036557 | A1 | 20030220 | US 2001-4944 | 20011205 |
| US 6613789 | B2 | 20030902 | | |
| US 2005096368 | A1 | 20050505 | US 2003-653399 | 20030902 |
| US 2005256120 | A1 | 20051117 | US 2005-183016 | 20050715 |

PRIORITY APPLN. INFO.:
US 1994-282395 A 19940728
US 1995-464154 A 19950605
WO 1995-US9506 W 19950727
AU 1997-15739 A3 19970124
WO 1997-US300 W 19970124
US 1999-101493 B1 19990602
US 2001-4944 A1 20011205
US 2003-653399 A1 20030902

L6 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 125:33646
GI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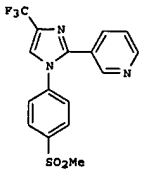
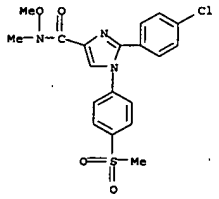

II

AB A class of imidazolyl compds., which are selective inhibitors of cyclooxygenase 2 (COX 2), is described. The compds. are useful in treating inflammation and related disorders (arthritis, fever, and pain). Compds. of particular interest are I [R3 = H, (un)substituted alkyl, aralkyl, heterocycloalkyl, acyl, cyano, alkoxy, alkylthio, cycloalkoxy, halo, substituted carbonyl, sulfonyl, oxy, thio, aryl, and heteroaryl; R7 = alkyl or amino; R8 = ≥ 1 of H, halo, alkyl, haloalkyl, alkoxy, amino, haloalkoxy, cyano, CO2H, OH, hydroxyalkyl, alkoxyalkyl, alkylamino, nitro, and alkylthio], as well as certain heterocyclic analogs. For instance, condensation of 4-(methylsulfonyl)aniline-HCl with 3-cyanopyridine in the presence of Me3Al (34%), followed by cyclization of the resultant amidine with BrCH2COCF3 (60%), and dehydration of the obtained hydroxydihydroimidazole derivative using p-MeC6H4SO3H (23%), gave title compound II. In the carrageenan-induced rat paw edema and analgesia tests, II gave 57% inhibition of edema at 30 mg/kg orally, and 51% inhibition of hyperalgesic foot withdrawal at 10 mg/kg orally. Inhibition data for recombinant COX 1 and 2 are also given.

IT 177662-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazole derivs. as antiinflammatories)

RN 177662-75-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N-methoxy-N-methyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

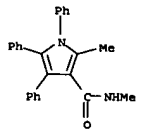


L6 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:122149 CAPLUS
DOCUMENT NUMBER: 124:289156
TITLE: Synthesis of some new 4,5-diphenyl-3-(N-methyl/N,N-diethyl)carbamoyl-2-methyl-1-substituted-1H-pyrroles and their fungicidal activity
AUTHOR(S): Sadanandam, Y. S.; Leelavathi, P.; Shetty, Meera M.
CORPORATE SOURCE: Organic Chemistry Division-I, Indian Institute Chemical Technology, Hyderabad, 500 007, India
SOURCE: Indian Journal of Heterocyclic Chemistry (1995), 5(2), 125-8
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Lucknow University, Dep. of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A number of new title compds. (6) have been synthesized by the reaction of N-methyl/N,N-diethylacetoacetamide with benzoin and various alkyl, aryl and aralkylamines in the presence of formic acid. Compds. 6 showed appreciable antifungal activity mild bactericidal activity.

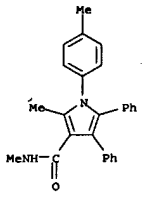
IT 175475-93-1P 175475-94-2P 175475-95-3P
175476-00-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

RN 175475-93-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1,4,5-triphenyl- (9CI) (CA INDEX NAME)

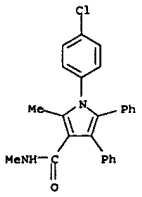


RN 175475-94-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(4-methylphenyl)-4,5-diphenyl- (9CI) (CA INDEX NAME)

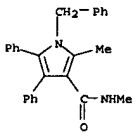
L6 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 175475-95-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N,2-dimethyl-4,5-diphenyl-(9CI) (CA INDEX NAME)

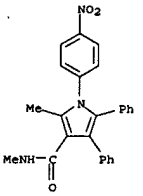


RN 175476-00-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-4,5-diphenyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

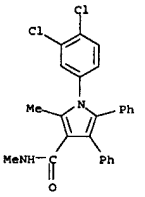


IT 175475-96-4P 175475-97-5P 175475-98-6P

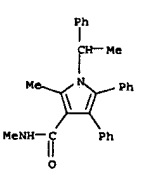
L6 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



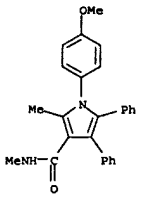
RN 175475-99-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N,2-dimethyl-4,5-diphenyl-(9CI) (CA INDEX NAME)



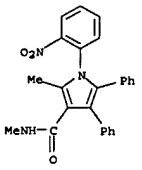
RN 175476-01-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-4,5-diphenyl-1-(1-phenylethyl)-(9CI) (CA INDEX NAME)



L6 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
175475-99-7P 175476-01-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 175475-96-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-N,2-dimethyl-4,5-diphenyl-(9CI) (CA INDEX NAME)



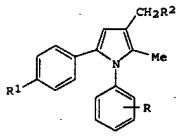
RN 175475-97-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(2-nitrophenyl)-4,5-diphenyl-(9CI) (CA INDEX NAME)



RN 175475-98-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(4-nitrophenyl)-4,5-diphenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

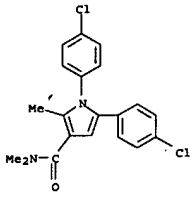
ACCESSION NUMBER: 1993:124338 CAPLUS
DOCUMENT NUMBER: 118:124338
TITLE: Studies on anti-Candida agents with a pyrrole moiety. Synthesis and microbiological activity of some 3-(aminomethyl)-1,5-diaryl-2-methylpyrrole derivatives
AUTHOR(S): Cerreto, F.; Villa, A.; Retico, A.; Scalzo, M.
CORPORATE SOURCE: Dip. Studi Chim. Technol. Sostanze Biol. Attive, Univ. La Sapienza, Rome, 00185, Italy
SOURCE: European Journal of Medicinal Chemistry (1992), 27(7), 701-8
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The synthesis and anti-Candida activity of some 3-aminomethyl-1,5-diaryl-2-methylpyrroles, e.g., I (R = H, 4-Cl, 4-F, 2,4-Cl2; R1 = H, Cl; R2 = NMe2, NHPh, pyrrolidino, 1-imidazolyl, 4-methylpiperazin-1-yl) are reported. Some derivs. show a rather strong anti-Candida activity. On the basis of exptl. results, microbiol. activity of 1,5-diarylpyrroles appears to be mainly related to aminic nitrogen lone pair availability of C3 substituent of the pyrrole nucleus. The C5 and N1 substituents play an important role in modulating biol. activity. Some structure-activity relationships are proposed.
IT 146204-81-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antifungal activity of)
RN 146204-81-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N,N,2-trimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



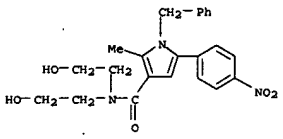
L6 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:120801 CAPLUS
DOCUMENT NUMBER: 118:120801
TITLE: Studies on anti-Candida agents with a pyrrole moiety. Synthesis and microbiological activity of some [(1-alkyl),(1-aryl) and (1-benzyl)-5-aryl-3-carboxamido-2-methyl]pyrrole derivatives
AUTHOR(S): Scalzo, Marcello; Biava, Mariangela; Cerreto, Felice; Villa, Adelaide
CORPORATE SOURCE: Dip. Studi Chim. Tecnol., Univ. "La Sapienza", Rome, Italy
SOURCE: Farmaco (1992), 47(7-8), 1047-53
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of some [(1-alkyl), (1-aryl) and (1-benzyl)-5-aryl-3-carboxamido-2-methyl]pyrrole derivs. is reported. Their activity against Candida strains was assessed and the structure-activity relationships for these compds. are discussed and related to structure-activity guidelines proposed for a series of previously studied 1,5-diarylpyrroles.

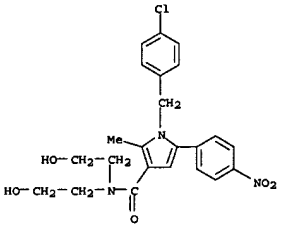
IT 146429-87-0P 146429-88-1P 146429-89-2P
146429-90-5P 146429-91-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)

RN 146429-87-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

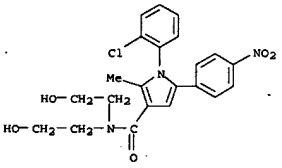


RN 146429-88-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-[(4-chlorophenyl)methyl]-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME).

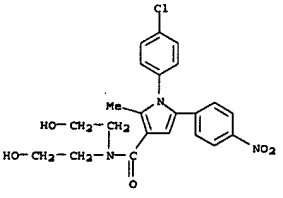
L6 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 146429-89-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

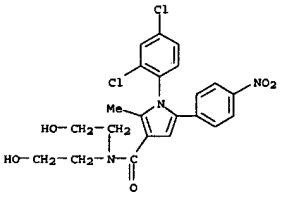


RN 146429-90-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

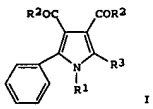
RN 146429-91-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:565938 CAPLUS
DOCUMENT NUMBER: 117:165938
TITLE: Pyrrole dicarboxylic acid derivatives and herbicides containing them
INVENTOR(S): Ishikawa, Hiromichi; Morita, Takeshi; Nakamura, Toshiki; Yoshizawa, Hirokazu
PATENT ASSIGNEE(S): Hokko Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 04145078 | A | 19920519 | JP 1990-265232 | 19901004 |
| PRIORITY APPLN. INFO.: | | | JP 1990-265232 | 19901004 |

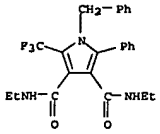
OTHER SOURCE(S): MARPAT 117:165938
GI



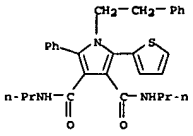
I

AB Pyrrole dicarboxylic acid derivs. I [R1 = H, lower alkyl, Ph lower alkyl; R2 = OH, lower alkoxy, lower alkylthio, NR4R5 (R4, R5 = H, lower alkyl, 2,6-diethylphenyl); R3 = pyridyl, thienyl, furyl, CF3] and herbicides containing I as active ingredients are claimed. Thus, 7.1 g di-Me acetylenedicarboxylate, 12.8 g N-nicotinoylphenylglycine, and acetic anhydride were stirred at 140° for 1 h to give 10.0 g I (R1 = H, R2 = OMe, R3 = pyridyl; II). II 15, white carbon 15, Ca ligninsulfonate 3, polyoxyethylene nonylphenyl ether 2, kieselguhr 5, and clay 60 parts were mixed to give an wettable powder. II at 50 g/10 are totally controlled Panicum Crus-galli, Alisma canaliculatum, etc., without damaging rice, vs. less effect for butachlor.
IT 143428-25-5P 143428-29-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 143428-25-5 CAPLUS
CN 1H-Pyrrole-3,4-dicarboxamide, N,N'-diethyl-2-phenyl-1-(phenylmethyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

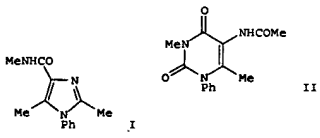
L6 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 143428-29-9 CAPLUS
CN 1H-Pyrrole-3,4-dicarboxamide, 2-phenyl-1-(2-phenylethyl)-N,N'-dipropyl-5-(2-thienyl)- (9CI) (CA INDEX NAME)

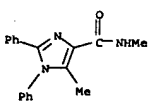


L6 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:128771 CAPLUS
DOCUMENT NUMBER: 116:128771
TITLE: Synthesis of 1H-imidazoles by the simple ring transformation of 5-acylaminouracils and 5-acylaminopyrimidin-4(3H)-ones
AUTHOR(S): Matsuura, Izumi; Ueda, Taisei; Murakami, Nobutoshi; Nagai, Shinichi; Sakakibara, Jinsaku
CORPORATE SOURCE: Fac. Pharm. Sci., Nagoya City Univ., Nagoya, 467, Japan
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1991), (11), 2821-6
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:128771
GI



I II

AB 1,2-Disubstituted 4-alkylcarbamoyl-5-methyl-1H-imidazoles, e.g. I, and 2-substituted 5-methyl-4-phenylcarbamoyl-1H-imidazoles were synthesized from 5-acylamino-6-methyluracils, e.g. II, and 5-acylamino-6-methyl-3-phenylpyrimidin-4(3H)ones by treatment with sodium hydroxide in ethanol. In the case of 5-acylaminopyrimidiones which possess an olefinic group in the acylamino group, 2-ethoxyethyl (or 2-ethoxypropyl)-5-methyl-4-phenylcarbamoyl-1H-imidazoles were prepared as major products and the corresponding 2-alkenyl-1H-imidazoles were only minor products. Compds. which contain an aryl function in their acylamino group gave glycine anilides as byproducts.
IT 120319-08-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120319-08-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,5-dimethyl-1,2-diphenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

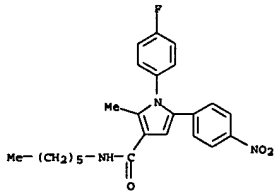
L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:493768 CAPLUS
DOCUMENT NUMBER: 111:93768
TITLE: Synthesis and microbiological activity of new 1,5-diarylpyrroles
AUTHOR(S): Scalzo, Marcello; Biava, Mariangela; Cerreto, Felice; Porretta, Giulo Cesare; Panico, Salvatore; Simonetti, Nicola
CORPORATE SOURCE: Fac. Farm., Univ. La Sapienza, Rome, Italy
SOURCE: European Journal of Medicinal Chemistry (1988), 23(6), 587-91
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:93768

AB A series of 1,5-diarylpyrrole derivs. were synthesized and tested in vitro for their activity against bacteria and fungi. Forty-eight derivs. were evaluated for their antifungal activity against Candida albicans and various strains of Candida species. The antibacterial activity of 10 derivs. was evaluated against gram-pos. and gram-neg. bacteria. Structure-activity relations are discussed.

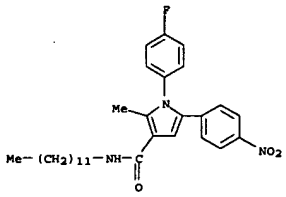
IT 122121-42-0P 122121-43-1P 122121-44-2P
122121-45-3P 122121-47-5P 122121-48-6P
122121-49-7P 122121-50-0P 122121-52-2P
122121-53-3P 122121-54-4P 122121-55-5P
122121-57-7P 122121-58-8P 122121-59-9P
122121-60-2P 122121-62-4P 122121-63-5P
122121-64-6P 122121-65-7P 122121-67-9P
122121-68-0P 122121-69-1P 122121-70-4P
122121-71-5P 122121-72-6P 122121-73-7P
122148-64-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

RN 122121-42-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-fluorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

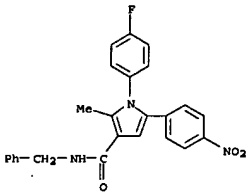


RN 122121-43-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-1-(4-fluorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

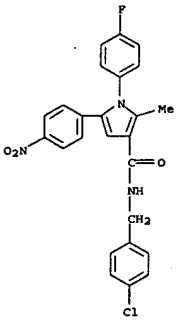


RN 122121-44-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-fluorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

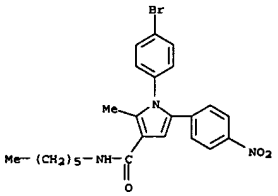


RN 122121-45-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(4-fluorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

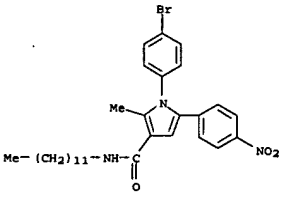


RN 122121-47-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

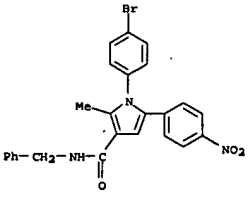
L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



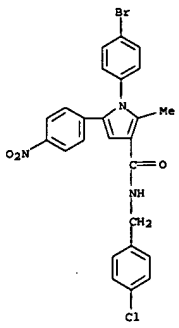
RN 122121-48-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



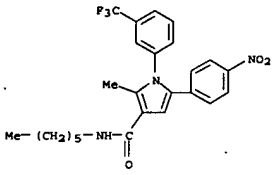
RN 122121-49-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 122121-50-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-[(4-chlorophenyl)methyl]-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

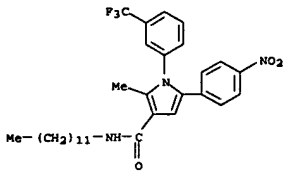


RN 122121-52-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

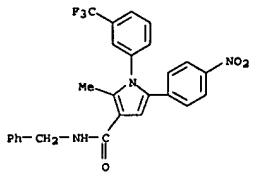


RN 122121-53-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

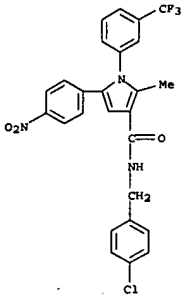


RN 122121-54-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

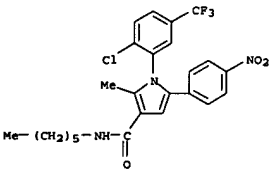


RN 122121-55-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

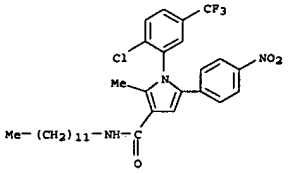


RN 122121-57-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

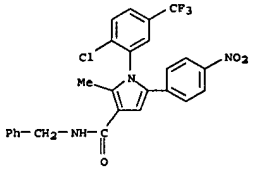


RN 122121-58-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

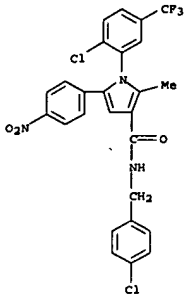


RN 122121-59-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

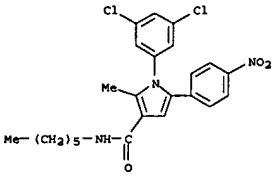


RN 122121-60-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-chloro-5-(trifluoromethyl)phenyl]-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

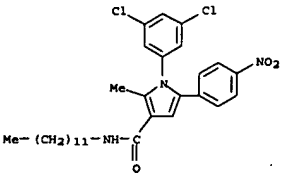


RN 122121-62-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

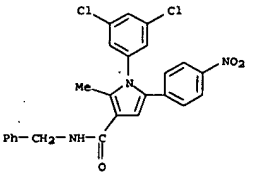


RN 122121-63-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

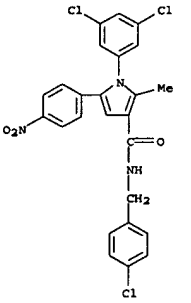


RN 122121-64-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

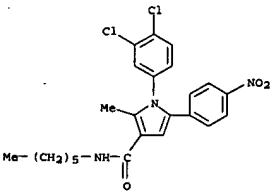


RN 122121-65-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(3,5-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

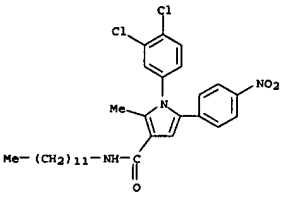


RN 122121-67-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

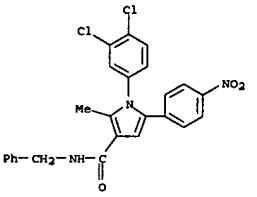


RN 122121-68-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

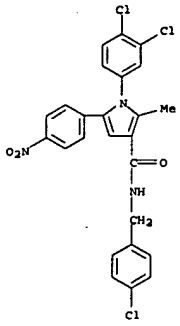


RN 122121-69-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

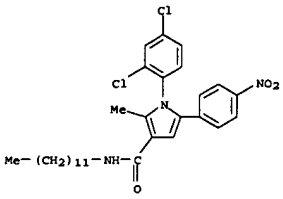


RN 122121-70-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(3,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

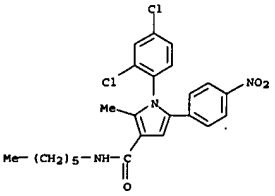


RN 122121-71-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

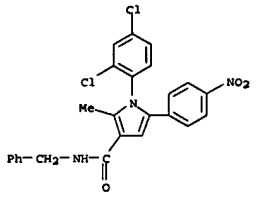


RN 122121-72-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

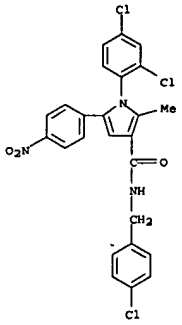
L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



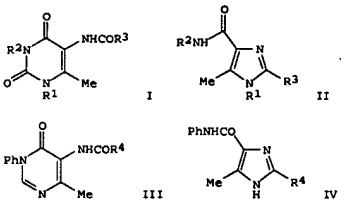
RN 122121-73-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(2,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 122148-64-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

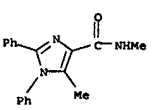
ACCESSION NUMBER: 1989:192713 CAPLUS
DOCUMENT NUMBER: 110:192713
TITLE: A novel ring transformation of 5-acylaminouracils and 5-acylaminopyrimidin-4(3H)-ones into imidazoles
AUTHOR(S): Ueda, Taisei; Matsuura, Izumi; Murakami, Nobutoshi; Nagai, Shinichi; Sakakibara, Jinsaku; Goto, Masafumi
CORPORATE SOURCE: Pac. Pharm. Sci., Nagoya City Univ., Nagoya, 467, Japan
SOURCE: Tetrahedron Letters (1988), 29(36), 4607-10
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:192713
GI



AB 1,3-Disubstituted 5-acylamino-6-methyluracils I (R1-R3 = Ph, Me) were transformed into 1,2-disubstituted 4-alkylcarbamoyl-5-methyl-1H-imidazoles II (same R's) by treatment with 5% aqueous NaOH in EtOH. Similarly, reaction of 5-acylamino-6-methyl-3-phenyl-4-(3H)-pyrimidinones III (R4 = Me, Ph, Et, Pr) with 5% aqueous sodium hydroxide in ethanol gave 2-substituted 5-methyl-4-phenylcarbamoyl-1H-imidazoles IV (same R4's).

IT 120319-08-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of)

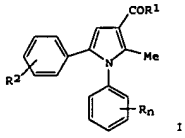
RN 120319-08-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N,5-dimethyl-1,2-diphenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:36649 CAPLUS
DOCUMENT NUMBER: 110:36649
TITLE: Compounds with antibacterial and antifungal activity. Part IV. Synthesis and microbiological activity of new 1,5-diarylpyrrole derivatives
AUTHOR(S): Scalzo, M.; Porretta, G. C.; Chimenti, F.; Casanova, M. C.; Panico, S.; Simonetti, N.
CORPORATE SOURCE: Dip. Chim. Tecnol. Sostanze Biol. Attive, Univ. "La Sapienza", Rome, Italy
SOURCE: Farmaco, Edizione Scientifica (1988), 43(9), 665-76
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 110:36649
GI



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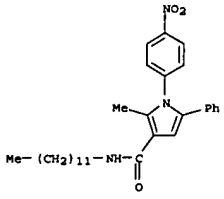
AB The synthesis and antifungal activities of new 1,5-diarylpyrrole derivs. I (R = NO2, Cl; R1 = HNC6H11, HNC12H25, HNC6H13, N-methylpiperazinyl; R2 = H, NO2; n = 1 or 2) are reported. In comparison with pyrrolnitrin, only carboxamide derivs. exhibit satisfactory antifungal activity. All the compds. show very poor antibacterial activity. The displacement of the NO2 group from the para to the meta or ortho positions of the aryl at C5 of the pyrrole ring affects the antimicrobial activity.

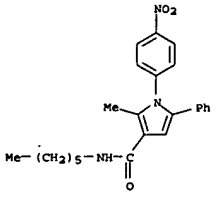
IT 118209-77-1P 118209-78-2P 118209-81-7P
118209-82-8P 118209-84-0P 118209-85-1P
118209-88-4P 118209-89-5P 118209-92-0P
118209-94-2P 118209-95-3P 118209-98-6P
118209-99-7P 118210-02-9P 118210-03-0P
118210-06-3P 118210-07-4P 118228-53-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

RN 118209-77-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-1-(4-nitrophenyl)-5-phenyl- (9CI) (CA INDEX NAME)

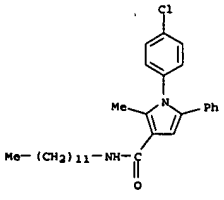
L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 118209-78-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-1-(4-nitrophenyl)-5-phenyl- (9CI) (CA INDEX NAME)

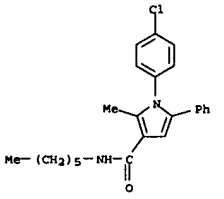


RN 118209-81-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

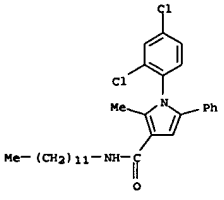


L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118209-82-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

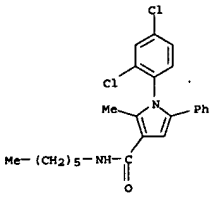


RN 118209-84-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

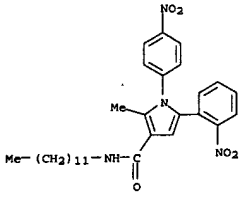


RN 118209-85-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

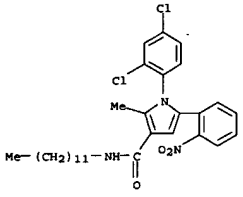


RN 118209-88-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

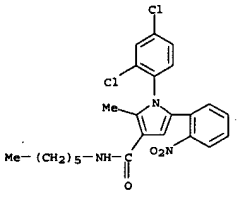


RN 118209-89-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

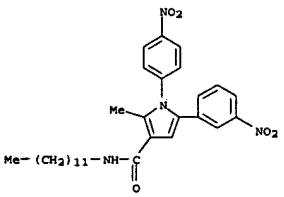
L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



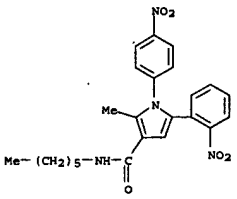
RN 118209-95-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



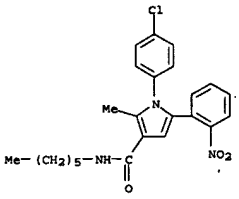
RN 118209-98-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(3-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



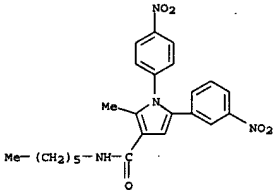
RN 118209-92-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



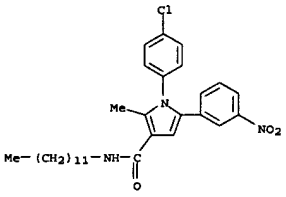
RN 118209-94-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118209-99-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(3-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

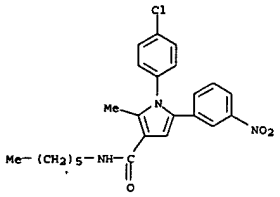


RN 118210-02-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

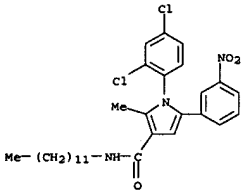


RN 118210-03-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

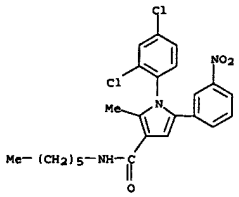


RN 118210-06-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

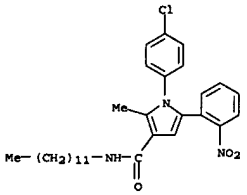


RN 118210-07-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

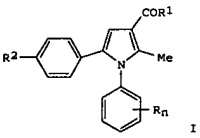


RN 118228-53-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:20996 CAPLUS
DOCUMENT NUMBER: 110:20996
TITLE: Compounds with antibacterial and antifungal activity. Part V. Synthesis and microbiological activity of new 1,5-diarylpyrrole derivatives
AUTHOR(S): Scalzo, M.; Porretta, G. C.; Chimenti, F.; Bolasco, A.; Casanova, M. C.; Simonetti, N.; Villa, A.
CORPORATE SOURCE: Dip. Chim. Tecnol. Sostanze Biol. Attive, Univ. "La Sapienza", Rome, Italy
SOURCE: Farmaco, Edizione Scientifica (1988), 43(9), 677-91
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 110:20996
GI



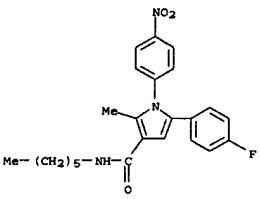
I

AB The synthesis and antifungal activities of the new 1,5-diarylpyrrole deriva. I (R = NO2, Cl; R1 = HNC6H13, HNC12H25, N-methylpiperazinyl, cyclohexylamino; R2 = F, Cl, Br, Me, OMe; n = 1 or 2) are reported. The N-methylpiperazinyl substituent is fundamental to activity. The presence of substituents at the para position of the two Ph rings and the presence of halogen atoms enhance microbiol. activity. The results are discussed in relation to structure-activity relationships.

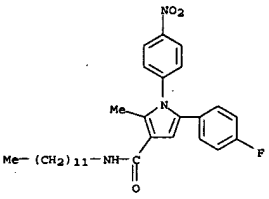
IT 118179-24-1P 118179-25-2P 118179-28-5P
118179-29-6P 118179-32-1P 118179-33-2P
118179-36-5P 118179-37-6P 118179-40-1P
118179-41-2P 118179-44-5P 118179-45-6P
118179-48-9P 118179-49-0P 118179-52-5P
118179-55-8P 118179-56-9P 118179-59-2P
118179-60-5P 118179-63-8P 118179-64-9P
118179-67-2P 118179-68-3P 118179-71-8P
118179-72-9P 118179-75-2P 118179-76-3P
118209-18-0P 118209-19-1P 118210-84-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

RN 118179-24-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-N-hexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

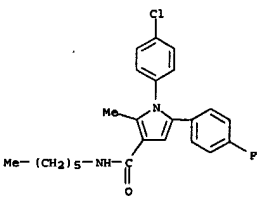
L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 118179-25-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-5-(4-fluorophenyl)-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

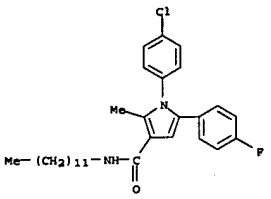


RN 118179-28-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(4-fluorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

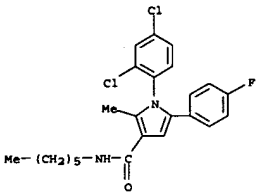


L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118179-29-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

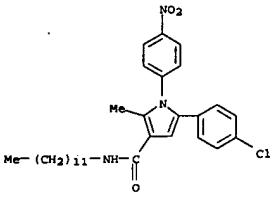


RN 118179-32-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

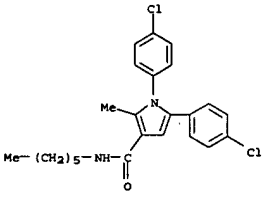


RN 118179-33-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

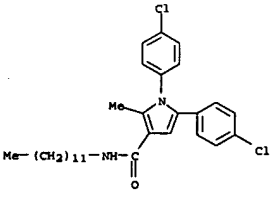
L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



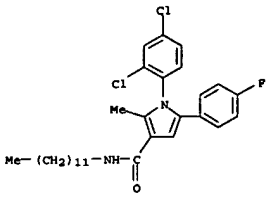
RN 118179-40-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)



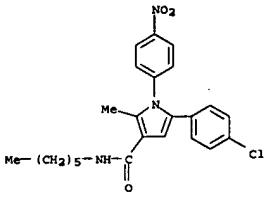
RN 118179-41-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N-dodecyl-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



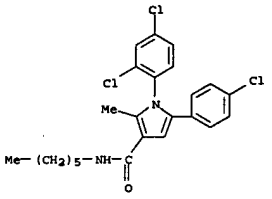
RN 118179-36-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-hexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



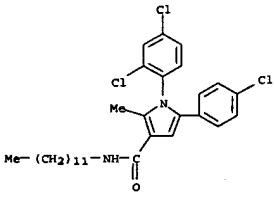
RN 118179-37-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-dodecyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118179-44-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

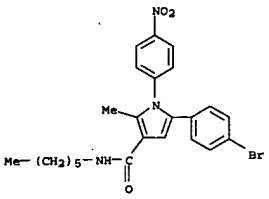


RN 118179-45-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl- (9CI) (CA INDEX NAME)

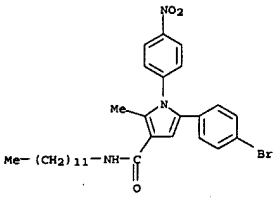


RN 118179-48-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-N-hexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

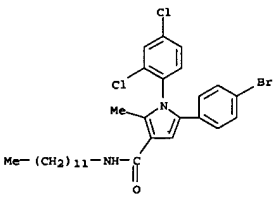


RN 118179-49-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-N-dodecyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

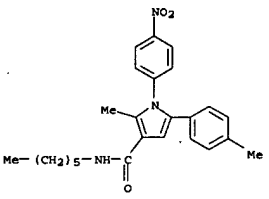


RN 118179-52-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(4-chlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

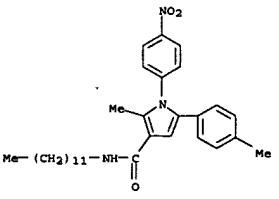
L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



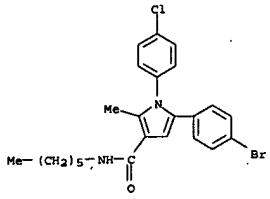
RN 118179-59-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(4-methylphenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



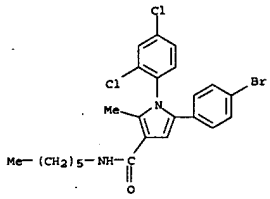
RN 118179-60-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(4-methylphenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



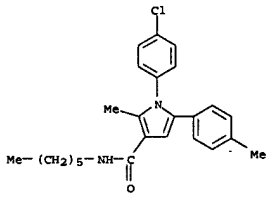
RN 118179-55-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)



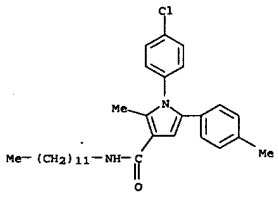
RN 118179-56-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118179-63-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

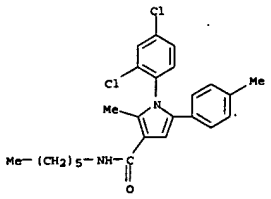


RN 118179-64-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

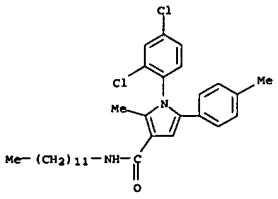


RN 118179-67-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

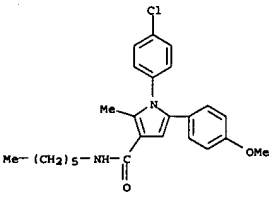
L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



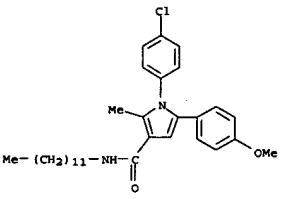
RN 118179-68-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



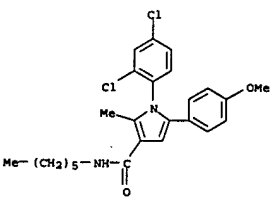
RN 118179-71-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-5-(4-methoxyphenyl)-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118179-76-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 118209-18-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



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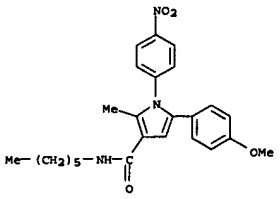
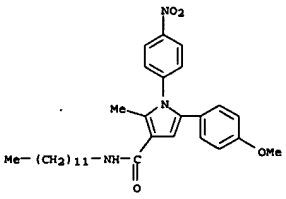
L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



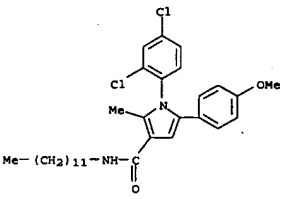
RN 118179-72-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-5-(4-methoxyphenyl)-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



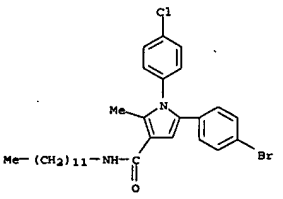
RN 118179-75-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118209-19-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 118210-84-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(4-chlorophenyl)-N-dodecyl-2-methyl- (9CI) (CA INDEX NAME)



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